U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

4-218

Requestor's Name: Wilson, James Serial Number: 08/081,183 Reissue

Date: April 12, 1994 Phone: 308-4624 Art Unit: 1803

Search Topic:

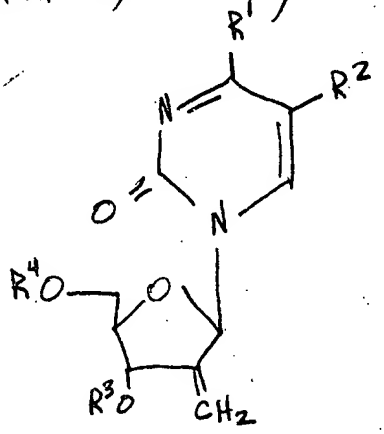
Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

FOR OFFICIAL USE ONLY

Please search "acyl" length as it affects pharmaceutical compounds. (Word search)

Also search for "special" activity based upon acyl length. Search with pyrimidine nucleoside compounds.

*I need a reference which clearly states "acyl" length adds some (~~any type~~) of properties which cannot be predicted. My range is 2 to 30 carbon atoms on an acyl or aminoacyl moiety.



R1 = amino, hydroxy or aminoacyl having 2 to 30 carbon atoms.

R2 = H, halogen, loweralkyl, lower alkenyl, a lower alkynyl or haloalkyl,

R3 & R4 = H or acyl having 2 to 30C atoms

Excluding case when.
R3 & R4 = H when R1 = amino or hydroxy

STAFF USE ONLY

Date completed: 4/14/94
Searcher: [illegible]
Terminal time: 4.5
Elapsed time: _____
CPU time: _____
Total time: 5.5
Number of Searches: 2
Number of Databases: 5

Search Site
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✓ CM-1
_____ Pre-S

Type of Search
_____ N.A. Sequence
_____ A.A. Sequence
✓ Structure
✓ Bibliographic

Vendors
_____ IG Suite
✓ STN
_____ Dialog
_____ APS
_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

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FILE 'REGISTRY' ENTERED AT 07:51:17 ON 15 APR 94
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STRUCTURE FILE UPDATES:  08 APR 94   HIGHEST RN 154277-95-9
DICTIONARY FILE UPDATES: 14 APR 94   HIGHEST RN 154277-95-9

TSCA INFORMATION NOW CURRENT THROUGH 30 JUNE 1993

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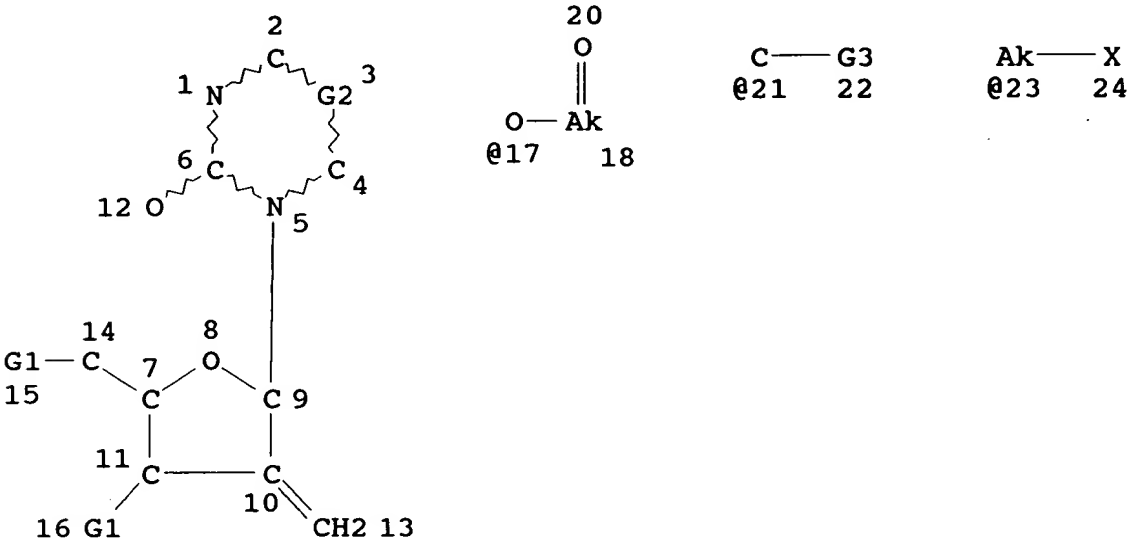


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VAR G2=C/21
VAR G3=X/AK/23
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CONNECT IS M3  RC AT   2
CONNECT IS M1  RC AT  23
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  23

STEREO ATTRIBUTES: NONE
L5            48 SEA FILE=REGISTRY CSS FUL L3

100.0% PROCESSED    112 ITERATIONS                    48 ANSWERS
SEARCH TIME: 00.00.07


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L3                STR
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L7             8 SEA FILE=REGISTRY L5 AND (OCTADECANOATE OR OXOOCTADECYL O
                 R HEPTADECANOATE OR OXODECYL OR BUTANOATE)
L9             6 SEA FILE=REGISTRY L5 AND (OCTANOATE OR DOCOSANOATE OR TET
                 RADECANOATE OR DECANOATE OR HEXADECANOATE)
L10           14 SEA FILE=REGISTRY L7 OR L9

=>
=> d l10 1-14 ide can

L10  ANSWER 1 OF 14  COPYRIGHT 1994 ACS
RN   142618-43-7  REGISTRY
CN   Cytidine, 2'-deoxy-2'-methylene-, 3'-octadecanoate (9CI)
     (CA INDEX NAME)
MF   C28 H47 N3 O5
SR   CA
LC   STN Files:   CA
DES  5:B-D-ERYTHRO
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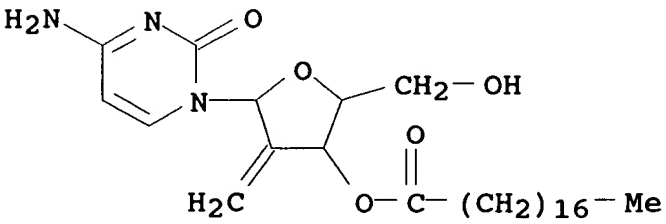

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

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L10  ANSWER 2 OF 14  COPYRIGHT 1994 ACS
RN   142618-42-6  REGISTRY
CN   Cytidine, 2'-deoxy-2'-methylene-, 3'-decanoate (9CI)  (CA
     INDEX NAME)
MF   C20 H31 N3 O5
SR   CA
LC   STN Files:   CA
DES  5:B-D-ERYTHRO
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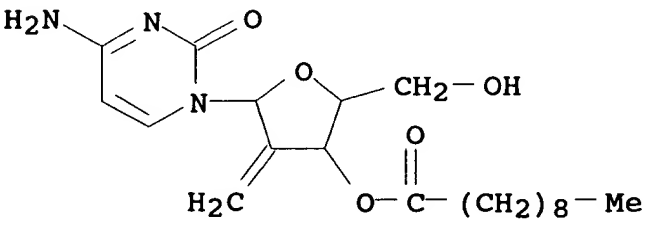
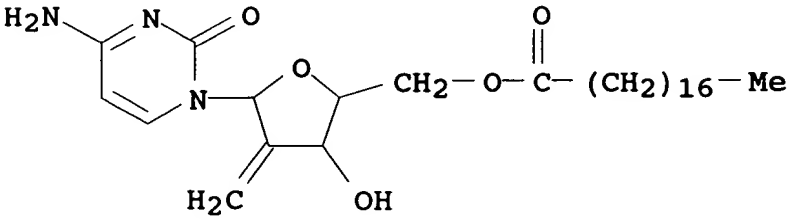


1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

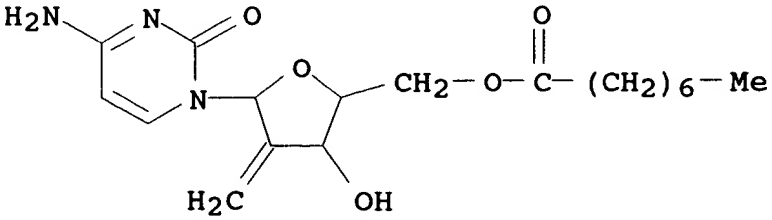
L10 ANSWER 3 OF 14 COPYRIGHT 1994 ACS
RN 142618-34-6 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-octadecanoate (9CI)** (CA INDEX NAME)
MF C28 H47 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

L10 ANSWER 4 OF 14 COPYRIGHT 1994 ACS
RN 142618-33-5 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-octanoate (9CI)** (CA INDEX NAME)
MF C18 H27 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

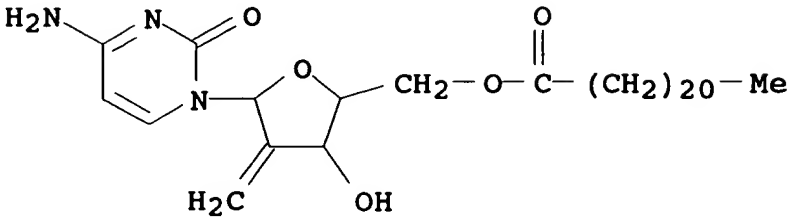


1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

L10 ANSWER 5 OF 14 COPYRIGHT 1994 ACS
RN 130703-23-0 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-docosanoate (9CI)** (CA INDEX NAME)
MF C32 H55 N3 O5
SR CA

LC STN Files: CA
DES 5:B-D-ERYTHRO

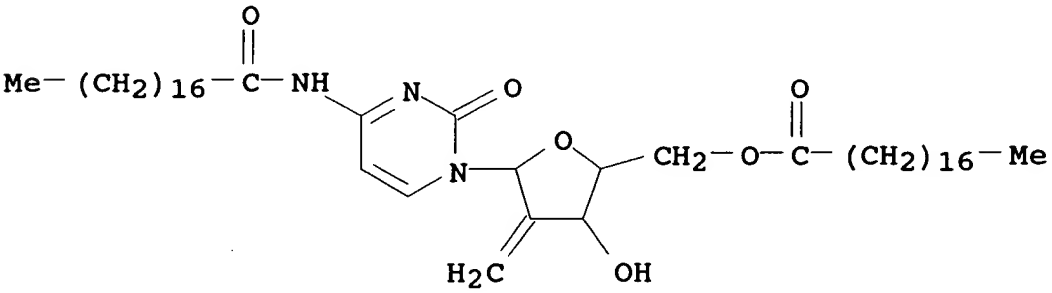


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

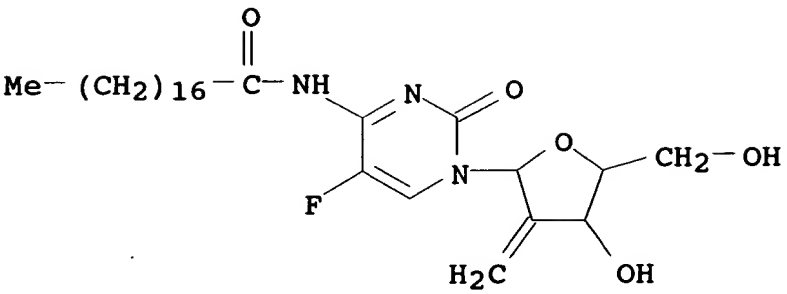
L10 ANSWER 6 OF 14 COPYRIGHT 1994 ACS
RN 130698-26-9 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-N-(1-oxooctadecyl)-, 5'-octadecanoate (9CI)** (CA INDEX NAME)
MF C46 H81 N3 O6
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA113(25):231935b

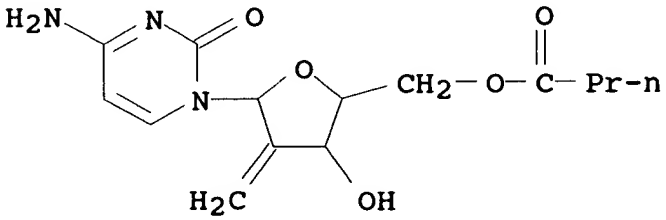
L10 ANSWER 7 OF 14 COPYRIGHT 1994 ACS
RN 130674-52-1 REGISTRY
CN **Cytidine, 2'-deoxy-5-fluoro-2'-methylene-N-(1-oxooctadecyl)-(9CI)** (CA INDEX NAME)
MF C28 H46 F N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA113(25):231935b

L10 ANSWER 8 OF 14 COPYRIGHT 1994 ACS
RN 130674-51-0 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-butanoate (9CI)** (CA INDEX NAME)
MF C14 H19 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

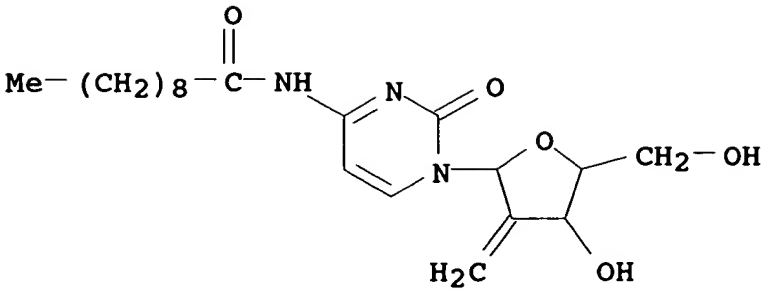


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

L10 ANSWER 9 OF 14 COPYRIGHT 1994 ACS
RN 130674-50-9 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-N-(1-oxodecyl)- (9CI)** (CA INDEX NAME)
MF C20 H31 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

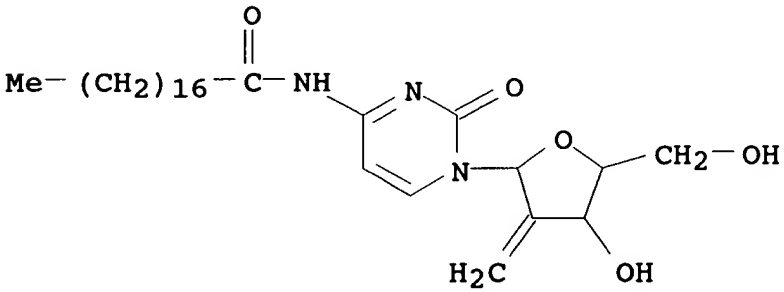


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

L10 ANSWER 10 OF 14 COPYRIGHT 1994 ACS
RN 130674-49-6 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-N-(1-oxooctadecyl)- (9CI)**
(CA INDEX NAME)
MF C28 H47 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

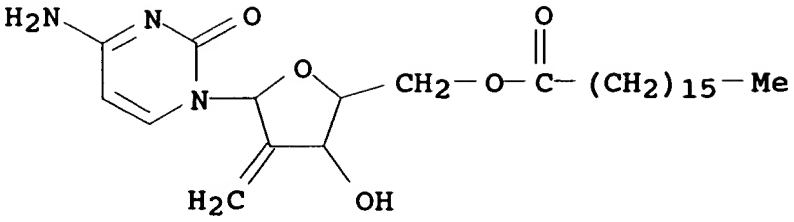


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

L10 ANSWER 11 OF 14 COPYRIGHT 1994 ACS
RN 130674-48-5 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-heptadecanoate (9CI)**
(CA INDEX NAME)
MF C27 H45 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

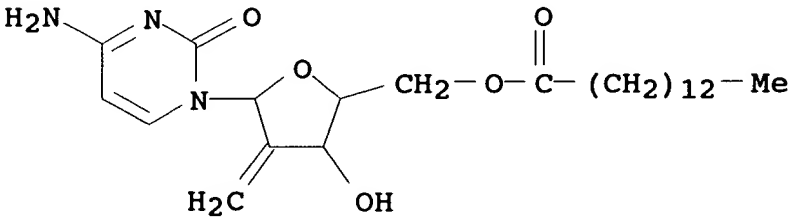


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

L10 ANSWER 12 OF 14 COPYRIGHT 1994 ACS
RN 130674-47-4 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-tetradecanoate (9CI)** (CA INDEX NAME)
MF C24 H39 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

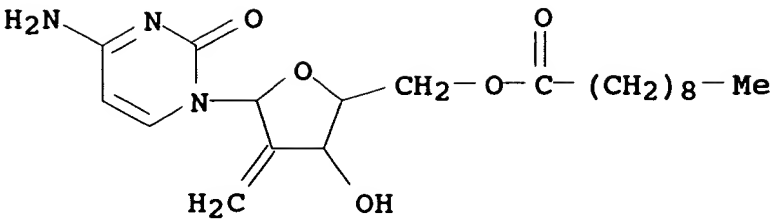


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

L10 ANSWER 13 OF 14 COPYRIGHT 1994 ACS
RN 130674-45-2 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-decanoate (9CI)** (CA INDEX NAME)
MF C20 H31 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO

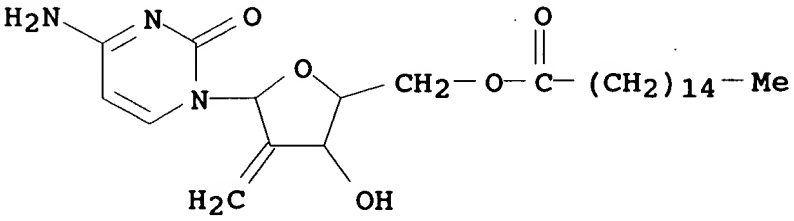


2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

L10 ANSWER 14 OF 14 COPYRIGHT 1994 ACS
RN 130674-44-1 REGISTRY
CN **Cytidine, 2'-deoxy-2'-methylene-, 5'-hexadecanoate (9CI)**
(CA INDEX NAME)
MF C26 H43 N3 O5
SR CA
LC STN Files: CA
DES 5:B-D-ERYTHRO



2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA117(7):70228p

REFERENCE 2: P CA113(25):231935b

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L5 48 SEA FILE=REGISTRY CSS FUL L3
L7 8 SEA FILE=REGISTRY L5 AND (OCTADECANOATE OR OXOOCTADECYL O
R HEPTADECANOATE OR OXODECYL OR BUTANOATE)
L9 6 SEA FILE=REGISTRY L5 AND (OCTANOATE OR DOCOSANOATE OR TET
RADECANOATE OR DECANOATE OR HEXADECANOATE)
L10 14 SEA FILE=REGISTRY L7 OR L9
L12 0 SEA FILE=HCAOLD L10

=>
=>

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L7            8 SEA FILE=REGISTRY L5 AND (OCTADECANOATE OR OXOOCTADECYL O
                R HEPTADECANOATE OR OXODECYL OR BUTANOATE)
L9            6 SEA FILE=REGISTRY L5 AND (OCTANOATE OR DOCOSANOATE OR TET
                RADECANOATE OR DECANOATE OR HEXADECANOATE)
L10          14 SEA FILE=REGISTRY L7 OR L9
L13           0 SEA FILE=HCAPREVIEWS L10 OR L10/D

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L5           48 SEA FILE=REGISTRY CSS FUL L3
L7            8 SEA FILE=REGISTRY L5 AND (OCTADECANOATE OR OXOOCTADECYL O
                R HEPTADECANOATE OR OXODECYL OR BUTANOATE)
L9            6 SEA FILE=REGISTRY L5 AND (OCTANOATE OR DOCOSANOATE OR TET
                RADECANOATE OR DECANOATE OR HEXADECANOATE)
L10          14 SEA FILE=REGISTRY L7 OR L9
L11           2 SEA FILE=HCA L10 OR L10/D

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=>
=> d que l23
L3              STR
L5           48 SEA FILE=REGISTRY CSS FUL L3
L7            8 SEA FILE=REGISTRY L5 AND (OCTADECANOATE OR OXOOCTADECYL O
                R HEPTADECANOATE OR OXODECYL OR BUTANOATE)
L9            6 SEA FILE=REGISTRY L5 AND (OCTANOATE OR DOCOSANOATE OR TET
                RADECANOATE OR DECANOATE OR HEXADECANOATE)
L10          14 SEA FILE=REGISTRY L7 OR L9
L11           2 SEA FILE=HCA L10 OR L10/D
L14       19491 SEA FILE=HCA NUCLEOSIDE#
L15       34249 SEA FILE=HCA ACYLATION
L16         398 SEA FILE=HCA L14 AND L15
L17       18910 SEA FILE=HCA PYRIMIDINE#
L18          42 SEA FILE=HCA L16 AND L17
L19        2987 SEA FILE=HCA ACYL DERIV#
L20        2584 SEA FILE=HCA ACYLATED
L21          14 SEA FILE=HCA L14 AND (L19 OR L20) AND L17
L22          53 SEA FILE=HCA L18 OR L21
L23          52 SEA FILE=HCA L22 NOT L11

=>
=>
=> fil hca
FILE 'HCA' ENTERED AT 07:53:07 ON 15 APR 94
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THE CA FILE FOR 1967-PRESENT WITH HOUR-BASED PRICING.
FILE COVERS 1967 -  2 Apr 94 (940402/ED) VOL 120 ISS 14.
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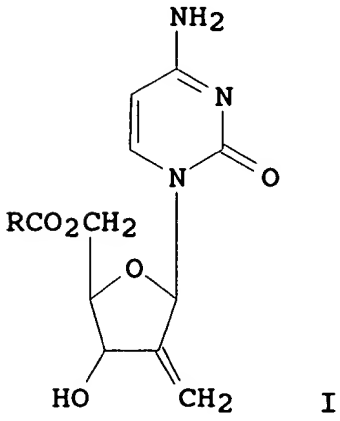
=>
=> d l11 1-2 bib abs hitrn

L11 ANSWER 1 OF 2 CA COPYRIGHT 1994 ACS
AN CA117(7):70228p CA
TI Synthesis and antitumor activity of acyl and benzyl types of prodrugs of 2'-deoxy-2'-methylidenecytidine
AU Miyashita, Takanori; Ashida, Noriyuki; Kondoh, Kazuhiko; Sakata, Shinji; Machida, Haruhiko; Fujii, Akihiro; Ueda, Tohru; Matsuda, Akira
CS Res. Dev. Div., Yamasa Shoyu Co., Ltd.
LO Choshi 288, Japan
SO Nucleosides Nucleotides, 11(2-4), 495-513
SC 33-9 (Carbohydrates)
SX 1
DT J
CO NUNUD5
IS 0732-8311
PY 1992
LA Eng
AN CA117(7):70228p CA
GI

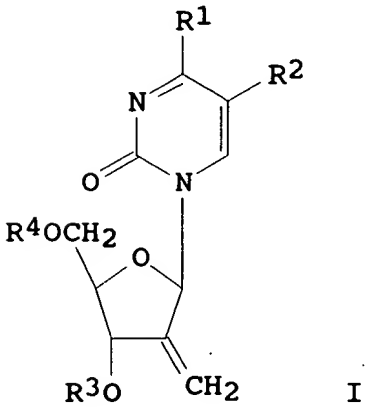


I

AB For the purpose of improvement of the in vivo antitumor activity of 2'-deoxy-2'-methylidenecytidine (DMDC, I), various acyl and benzyl derivs., e.g. I [R = Ph, (CH2)nMe, n = 2, 4, 8, 12, 14-15, 20], were prepd. and evaluated them for their antitumor activity against P388 murine leukemia in mice. The antitumor activities of some other acyl derivs. were almost comparable to that of DMDC, while benzyl derivs. had no antitumor activity. Results on the hydrolysis of 5'-O-acyl derivs. by porcine liver esterase showed that at least these derivs. should not be resistant to enzymic hydrolysis for exhibiting antitumor activity. After either an i.p. or oral dose of 3'-O-benzyl DMDC, very low concns. of blood DMDC were seen compared with those after administration of DMDC, suggesting that the inactivity of benzyl derivs. as prodrugs was due to the minimal level of DMDC in circulation after administration.

IT **130674-45-2P 130674-47-4P 130674-48-5P**
(prepn. and enzymic hydrolysis of)
IT **130674-49-6P 130674-50-9P** 130954-03-9P
142618-38-0P 142618-39-1P 142618-40-4P 142618-41-5P
142618-42-6P 142618-43-7P 142618-48-2P
142618-49-3P 142618-52-8P
(prepn. of)
IT **130674-51-0P 142618-33-5P**
(prepn., enzymic hydrolysis and neoplasm inhibition by)
IT **130674-44-1P 130703-23-0P 142618-34-6P**
(prepn., enzymic hydrolysis, and neoplasm inhibition by)

L11 ANSWER 2 OF 2 CA COPYRIGHT 1994 ACS
AN CA113(25):231935b CA
TI Preparation of pyrimidine 2'-methylidene-2'-deoxynucleoside derivatives as anticancer and antiviral agents
IN Ueda, Tohru; Sasaki, Takuma; Matsuda, Akira; Miyashita, Takanori; Sakata, Shinji; Yamagami, Keiji; Fujii, Akihiro
PA Yamasa Shoyu Co., Ltd.; Yoshitomi Pharmaceutical Industries, Ltd.
LO Japan
SO Eur. Pat. Appl., 11 pp.
PI EP 373485 A1 900620
DS R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE
AI EP 89-122426 891205
PRAI JP 88-310865 881207
SC 33-9 (Carbohydrates)
SX 1, 63
DT P
CO EPXXDW
PY 1990
LA Eng
OS MARPAT 113:231935
AN CA113(25):231935b CA
GI



I

AB The title nucleosides (I; R1 = NH2, OH, silylamino, silyloxy, acylamino, acyloxy; R2 = H, halo, alkyl, alkenyl, alkynyl,

haloalkyl; R3,R4 = H, silyl, acyl, acylamino; excluding R1 = NH2 or OH and R3 = R4 = H) are prepd. Thus, silylation of 2'-deoxy-2'-methylidenecytidine with Me3SiCl in pyridine followed by acylation with stearoyl chloride, and successively treatment with H2O and concd. aq. NH3 gave 39.6% 2'-deoxy-2'-methylidene-N4-stearoylcytidine (II). Fourteen I were prepd. and 2'-deoxy-2'-methylidene-5'-O-stearoylcytidine at 25 mg/kg/day for 5 days i.p. increased 243% the mean survival time in mice transplanted i.p. with L-1210 leukemia cells vs. 152% for 2'-deoxy-2'-methylidenecytidine. Tablets contg. II were formulated.

IT 129950-82-9P 129950-84-1P **130674-44-1P**
130674-45-2P 130674-46-3P **130674-47-4P**
130674-48-5P 130674-49-6P 130674-50-9P
130674-51-0P 130674-52-1P 130698-26-9P
130703-23-0P
(prepn. of, as anticancer and antiviral agent)

=>
=>
=> d l23 1-52 cbib ab

L23 ANSWER 1 OF 52 CA COPYRIGHT 1994 ACS
CA119(13):139657p The synthesis and anti-HIV activity of **pyrimidine** dioxolanyl **nucleosides**. Wilson, Lawrence J.; Choi, Woo Baeg; Spurling, Travis; Liotta, Dennis C.; Schinazi, Raymond F.; Cannon, Deborah; Painter, George R.; St. Clair, Marty; Furman, Phillip A. (Dep. Chem., Emory Univ., Atlanta, GA 30322, USA). Bioorg. Med. Chem. Lett., 3(2), 169-74 (Eng) 1993. CODEN: BMCLE8. ISSN: 0960-894X. OTHER SOURCES: CASREACT 119:139657.

AB A series of 5-substituted uracil and cytosine dioxolanyl nucleosides, e.g. I and II (R = H, Br, Cl, F, iodo), were synthesized as potential anti-HIV agents. II (R = H, F) were extremely potent in acutely infected human lymphocytes.

L23 ANSWER 2 OF 52 CA COPYRIGHT 1994 ACS
CA118(23):234421v Cyclopentene derivatives and their use. Kaneoko, Chikara; Katagiri, Nobuya; Tsuruo, Takashi (Japanese Foundation for Cancer Research; Takeda Chemical Industries, Ltd., Japan). Can. Pat. Appl. CA 2055086 AA 920813, 71 pp. (Eng). CODEN: CPXXEB. APPLICATION: CA 91-2055086 911106. PRIORITY: JP 91-18913 910212; JP 91-18914 910212; JP 91-281745 911028; JP 91-281746 911028.

AB Nucleoside analogs I [B = purine or pyrimidine base; R = H, R1 = (un)protected CH2OH; R = (un)protected CH2OH, R1 = H] were prepd. Thus, the analog II was prepd. from cyclopentadienyllithium, ClCH2OCH2Ph, and 4-MeC6H4SO2CN in 8 steps. II had an anti-HIV-1 ED50 of 0.355 .mu.g/mL.

L23 ANSWER 3 OF 52 CA COPYRIGHT 1994 ACS
CA118(21):205218d Treatment of chemotherapeutic agent and antiviral agent toxicity with **acylated pyrimidine nucleosides**. Von Borstel, Reid W.; Bamat, Michael K. (Pro-Neuron, Inc., USA). PCT Int. Appl. WO 9301202 A1 930121, 130 pp. DESIGNATED STATES: W: AU, BR, CA, FI, JP, KR, NO; RW: AT, BE,

CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE. (Eng). CODEN: PIXXD2. APPLICATION: WO 92-US5324 920625. PRIORITY: US 91-724340 910705.

AB The toxicity of antiviral and antineoplastic agents, resulting from their damage to the hematopoietic system or mucosal tissue, is prevented or treated with acylated derivs. of nonmethylated pyrimidine nucleosides. These derivs. may themselves be antineoplastic, antiviral, or antimalarial agents; they may be administered together with inhibitors of uridine phosphorylase, of cytidine deaminase, or of nucleotide transport. Thus, oral administration of triacetyluridine (500 mg/kg 8 times in 2 days) rescued mice from the hematol. toxicity of 5-fluorouracil (150 mg/kg i.p.), as shown by leukocyte and platelet counts.

L23 ANSWER 4 OF 52 CA COPYRIGHT 1994 ACS

CA118(1):7326z Methylenephosphonate **nucleoside** analogs and oligonucleotide analogs made therefrom. Buhr, Chris; Matteucci, Mark; Bischofberger, Norbert W.; Froehler, Brian (Gilead Sciences, Inc., USA). PCT Int. Appl. WO 9213869 A1 920820, 77 pp. DESIGNATED STATES: W: AU, CA, FI, JP, KR, NO, RU; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE. (Eng). CODEN: PIXXD2. APPLICATION: WO 92-US1020 920207. PRIORITY: US 91-652978 910208.

AB Nucleoside phosphonates I [B = purine or pyrimidine nucleic acid base; R, R1 = (un)substituted OH, NH2, SH; R2 = H, allyloxy, allylthio, MeO, MeS, F; R3 = H, OH, F, OCH2Ph, OSiMe2CMe3, OCPh(C6H4OMe-4)2, OCPh2C6H4OMe-4; R2R3 = O, bond; X = O, S] were prepd. as intermediates for oligonucleotide analogs II (R4, R5 = H, protective group; n = 1-30). Thus, 3'-O-tert-butyldimethylsilyl-N2-isobutyryl-2'-deoxyguanosine was prepd. from 2'-deoxyguanosine in 3 steps and was treated with Ph3P:CHP(O)(OPh)2 followed by hydrogenation to give the phosphonate III.

L23 ANSWER 5 OF 52 CA COPYRIGHT 1994 ACS

CA117(19):187711g Recognition of all four base pairs of double-helical DNA by triple-helix formation: design of nonnatural deoxyribonucleosides for **pyrimidine**.cntdot.purine base pair binding. Griffin, Linda C.; Kiessling, Laura L.; Beal, Peter A.; Gillespie, Paul; Dervan, Peter B. (Arnold Mabel Beckman Lab. Chem. Synth., California Inst. Technol., Pasadena, CA 91125, USA). J. Am. Chem. Soc., 114(21), 7976-82 (Eng) 1992. CODEN: JACSAT. ISSN: 0002-7863. OTHER SOURCES: CJACS.

AB The sequence-specific recognition of double-helical DNA by oligonucleotide-directed triple-helix formation is limited mostly to purine tracts. Design leads that could expand the recognition code to all four Watson-Crick base pairs would provide one step toward a general soln. targeting single sites in megabase size DNA. The nonnatural deoxyribonucleoside 1-(2-deoxy-.beta.-D-ribofuranosyl)-4-(3-benzamidophenyl)imidazole (D3) was synthesized in four steps and incorporated by automated methods into pyrimidine oligodeoxyribonucleotides. Within a pyrimidine oligonucleotide, D3 binds pyrimidine.cntdot.purine base pairs with higher affinity than it binds purine.cntdot.pyrimidine base pairs. From affinity-cleaving anal., the stabilities of base triplets decrease in the order D3.cntdot.TA .apprx. D3.cntdot.CG > D3.cntdot.AT > D3.cntdot.GC.

Such specificity allows binding by triple-helix formation at an 18 base pair site in SV40 DNA contg. all four base pairs at physiol. relevant pH and temp. The stabilities of these novel triplets may be an example of shape-selective recognition of CG and TA Watson-Crick base pairs in the major groove.

L23 ANSWER 6 OF 52 CA COPYRIGHT 1994 ACS
CA117(9):90657f Syntheses of 2'-deoxypseudouridine, 2'-deoxyformycin B, and 2',3'-dideoxyformycin B by palladium-mediated glycal-aglycon coupling. Zhang, Han Cheng; Daves, G. Doyle, Jr. (Dep. Chem., Rensselaer Polytech. Inst., Troy, NY 12180, USA). J. Org. Chem., 57(17), 4690-6 (Eng) 1992. CODEN: JOCEAH. ISSN: 0022-3263. OTHER SOURCES: CJACS.
AB 5-Iodouracil and the ribofuranoid glycal 1,4-anhydro-2-deoxy-3-O-[(1,1-dimethylethyl)diphenylsilyl]-D-erythro-pent-1-enitol underwent regio- and stereospecific coupling in the presence of catalytic palladium acetate and either triphenylphosphine or triphenylarsine ligands. The resulting C-glycosyl product was converted to 2'-deoxypseudouridine (5-(2'-deoxy-.beta.-D-ribofuranosyl)-2,4-(1H,3H)-pyrimidinedione) (63% overall yield). Similarly, 2'-deoxyformycin B (3-(2'-deoxy-.beta.-D-ribofuranosyl)pyrazolo[4,3-d]pyrimidin-7-one), and 2',3'-dideoxyformycin B (3-(2',3'-dideoxy-.beta.-D-ribofuranosyl)pyrazolo[4,3-d]pyrimidin-7-one) were synthesized by reaction sequences in which the key step is a palladium-mediated regio- and stereospecific C-glycosyl bond forming reaction between this furanoid glycal and a bis(tetrahydropyranyl)-protected 3-iodopyrazolo[4,3-d]pyrimidine aglycon deriv.

L23 ANSWER 7 OF 52 CA COPYRIGHT 1994 ACS
CA116(19):194760e Reaction of 5-.alpha.-chloroacetyl-4-glycosylaminopyrimidines with thiourea. Synthesis of 4-glycosylaminofuro[2,3-d]**pyrimidines** and 4-glycosylamino-5-(2-amino-4-thiazolyl)**pyrimidines**. Quijano, M. L.; Nogueras, M.; Sanchez, A. (Dep. Quim. Org., Fac. Cienc. Exp., Jaen 23071, Spain). Nucleosides Nucleotides, 11(1), 121-39 (Eng) 1992. CODEN: NUNUD5. ISSN: 0732-8311.
AB The prepn. of 4-glycosylamino-5-(2-amino-4-thiazolyl)pyrimidines I (X = O, R1 = tetra-O-acetyl-.beta.-D-glucopyranosyl, tri-O-acetyl-.beta.-D-xylopyranosyl; X = S, R1 = tetra-O-acetyl-.alpha./.beta.-D-glucopyranosyl, tri-O-acetyl-.beta.-D-xylopyranosyl), II, and 4-glycopyranosylaminofuro[2,3-d]pyrimidine III by reaction of the corresponding 5-.alpha.-chloroacetylpyrimidine intermediate IV (R = H, Me) with thiourea is described.

L23 ANSWER 8 OF 52 CA COPYRIGHT 1994 ACS
CA116(13):129507p Preparation of purine and **pyrimidine nucleosides** as antiviral agents.. Maag, Hans; Prisbe, Ernest J.; Verheyden, Julien P. H. (Syntex (U.S.A.), Inc., USA). Eur. Pat. Appl. EP 457326 A1 911121, 41 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE. (Eng). CODEN: EPXXDW. APPLICATION: EP 91-107964 910516. PRIORITY: US 90-524773 900517.
AB The title compds. [I; B = purine or pyrimidine base; X, X1 = H, OH,

F; Y, Y1 = H, OH, OMe, F; Y1Z together may form a cyclic phosphate ester provided Y = H or Z = HO[P(O)(OH)O]nCH2-; where n = 0, 1, 2, 3 and Z1 = N3 or OMe; with provisos] and their pharmaceutically acceptable salts are prepd., e.g., by reacting an appropriate 5'-deoxy-5'-iodo deriv., e.g., II (R = H, R1 = iodo), with an oxidizing agent followed by the addn. of a base. II (R = H, R1 = iodo) (prepn. given) was acylated with p-anisoyl chloride and the resulting II [R = p-anisoyl, R1 = iodo) oxidized with 3-ClC6H4C(O)OOH to give II [R = H, R1 = OH] (III). An in vitro assay of III using Alex Cells showed that it had an IC50 of 0.056 .mu.M compared with 0.005 .mu.M for AZT. Pharmaceutical formulations including a tablet, an oral suspension, an injectable soln., and liposome formulations contg. I were prepd.

L23 ANSWER 9 OF 52 CA COPYRIGHT 1994 ACS
CA115(21):232744s Synthesis of thymidine from 5-iodo-2'-deoxyuridine. Herdewijn, P.; Kerremans, L.; Wigerinck, P.; Vandendriessche, F.; Van Aerschot, A. (Rega Inst. Med. Res., Kathol. Univ. Leuven, Louvain B-3000, Belg.). Tetrahedron Lett., 32(34), 4397-400 (Eng) 1991. CODEN: TELEAY. ISSN: 0040-4039. OTHER SOURCES: CASREACT 115:232744.
AB A simple, high yield synthesis of thymidine (I, R = Me) from 5-iodo-2'-deoxyuridine (I, R = iodo) is described, using Me4Sn and Pd(PPh3)4 in HMPA. Likewise, 5-phenyl- and 5-vinyl-2'-deoxyuridine (I, R = Ph, CH2:CH, resp.) were obtained, and by redn. of the latter compd. I (R = Et) can be prepd.

L23 ANSWER 10 OF 52 CA COPYRIGHT 1994 ACS
CA115(9):92779e Synthesis and biological activity of 2'-deoxy-4'-thio **pyrimidine nucleosides**. Secrist, John A., III; Tiwari, Kamal N.; Riordan, James M.; Montgomery, John A. (South. Res. Inst., Birmingham, AL 35255-5305, USA). J. Med. Chem., 34(8), 2361-6 (Eng) 1991. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS.
AB 2'-Deoxy-4'-thiocytidine (I), 2'-deoxy-4'-thiouridine (II; R = H) and 4'-thiothymidine (II; R = Me) were synthesized and evaluated for cytotoxicity in vitro. All these compds. were cytotoxic to L1210, H-Ep-2, and CCRF-CEM cell lines. II (R =Me) was also active against herpes simplex 1 and human cytomegalovirus in cell culture.

L23 ANSWER 11 OF 52 CA COPYRIGHT 1994 ACS
CA114(13):122948h Synthesis of **nucleosides** and related compounds. Part 20. Synthesis of 9-(t-2,c-3-dihydroxymethyl-r-1-cyclopropyl)-9H-adenine (a lower methylene homolog of carbocyclic oxetanocin) and related compounds. Katagiri, Nobuya; Sato, Hiroshi; Kaneko, Chikara (Pharm. Inst., Tohoku Univ., Sendai 980, Japan). Chem. Pharm. Bull., 38(11), 3184-6 (Eng) 1990. CODEN: CPBTAL. ISSN: 0009-2363.
AB To clarify the relationship of side chain conformation and flexibility to biol. activity, a series of carbocyclic analogs of oxetanocin having a one-methylene unit shorter in the cyclobutane ring, 9-(t-2,c-3-dihydroxymethyl-r-1-cyclopropyl)-9H-adenine (I) and the related compds. II-IV were synthesized.

L23 ANSWER 12 OF 52 CA COPYRIGHT 1994 ACS
CA114(7):62622k Preparation of 3-hydroxy-4-(hydroxymethyl)-erythro-oxetany purine or **pyrimidine nucleoside** derivatives and their preparation. Yamamura, Shosuke; Nishiyama, Shigeru; Ogiya, Tadaaki; Kato, Kunimoto; Minami, Takae; Takita, Tomohisa (Nippon Kayaku Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 02209886 A2 900821 Heisei, 5 pp. (Japan). CODEN: JKXXAF. APPLICATION: JP 89-28611 890209.
AB Oxetane nucleosides I (R = purine or pyrimidine base; R1, R2 = H), which are expected to be useful as antiviral and antitumor agents (no data), are prepd. by treatment of I (R = O2CR3; R1, R2 = acyl; R3 = H, lower alkyl) with (protected) purine or pyrimidine bases followed by deprotection. A CH2Cl2 soln. of I (R = OAc, R1 = pivaloyl, R2 = COCMe2CO2Et) (prepn. given) was treated with mol. sieve 4A at room temp. for 1.5 h, then N-benzoylbis(trimethylsilyl)adenine and SnCl4 at 0.degree. for 45 min, the resulting product in MeOH was treated with MeONa at room temp. for 1 h, followed by treatment with pyrimidine and BzCl at 8.degree. for 15 h to give 22.8% title nucleoside II.

L23 ANSWER 13 OF 52 CA COPYRIGHT 1994 ACS
CA114(5):43319a **Nucleosides** and nucleotides. 94. Radical deoxygenation of tert-alcohols in 1-(2-C-alkylpentofuranosyl) **pyrimidines**: synthesis of (2'S)-2'-deoxy-2'-C-methylcytidine, an antileukemic **nucleoside**. Matsuda, Akira; Takenuki, Kenji; Sasaki, Takuma; Ueda, Tohru (Fac. Pharm. Sci., Hokkaido Univ., Sapporo 060, Japan). J. Med. Chem., 34(1), 234-9 (Eng) 1991. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS.
AB (2'S And 2'R)-2'-deoxy-2'-C-methylcytidine (I; R = .beta., .alpha.-Me) and (2'S)-2'-deoxy-2'-C-ethylcytidine (I; R = Et) were synthesized from the corresponding 2'-C-alkylarabinofuranosyl- or -ribofuranosylpyrimidine derivs. (II ;R1 = F, R2 = OH; R1 = OH, R2 = Me, Et) and by radical deoxygenation of the Me oxalyl esters of the 2'-tert-alc., followed by sequential deblocking and amination at the 4-position. (2'S)-2'-Deoxy-2'-C-methyl-5-methyluridine (III) was also synthesized in a similar manner. Among them, I (R = .beta.-Me), exhibits the most potent cytotoxicity to L1210 cells with potency comparable to that of 1-.beta.-D-arabinofuranosylcytosine (I; R = .beta.-OH). The size of the 2'-substituents and the configuration at the 2'-position are the most important for the cytotoxicity. Cytotoxicity in vitro of I (R = .beta.-Me) against various human cancer cell lines was also examd. and compared with that of I (R = .beta.-OH).

L23 ANSWER 14 OF 52 CA COPYRIGHT 1994 ACS
CA114(3):24496q Preparation of 5-halo-2'-deoxyuridine N-(2-aminoethyl)glycyl esters as antitumor prodrugs with enhanced bioavailability. Saari, Walfred S. (Merck and Co., Inc., USA). U.S. US 4942226 A 900717, 7 pp. (Eng). CODEN: USXXAM. APPLICATION: US 88-291714 881229.
AB Halogenated pyrimidine nucleosides (I; X = halo; one of R, R1 = COCH2NR2CH2CH2NHR3 and the other = H; R2 = H, alkyl; R3 = H, alkyl, cycloalkylethyl), prodrugs which improve the aq. soly. of the clin.

effective radiosensitizers I (R = R1 = H), enhance the concn. of the drugs in malignant tumors, and promote their uniform distribution throughout the tumors (no data), are prepd. Thus, esterification of 5-bromo-2'-deoxyuridine with BOC-NEtCH2CH2N(BOC)CH2CO2Q (BOC = CO2CMe3, (Q = succinimido) (prepn. given) in MeCN in the presence of 4-dimethylaminopyridine followed by deprotection with HCl(g)-satd. EtOAc gave I.2HCl (X = Br, R = H, R1 = COCH2NHCH2CH2NHEt) which had a ester half-life of 2.9 min at pH 7.4 and 47 min at pH 6.8 in a phosphate buffer.

L23 ANSWER 15 OF 52 CA COPYRIGHT 1994 ACS
CA113(21):191849t Isosteric oligonucleotide analogs containing sulfur. Benner, Steven Albert (Switz.). PCT Int. Appl. WO 8912060 A1 891214, 88 pp. DESIGNATED STATES: W: AU, JP; RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE. (Eng). CODEN: PIXXD2. APPLICATION: WO 89-US2323 890526. PRIORITY: US 88-202528 880606.

AB Oligonucleotides contg. isosteric S linkages instead of a phosphate, e.g. I, which are resistant to chem. and in vivo enzymic degrdn., lipophilic, and thereby easily cross biol. barriers, and thus useful as, e.g. probes for cDNA, can be prepd. from rigid or flexible isosteric building blocks [II, III, and IV; X = O, CH2; R = OH, R1 = SH; or R = SH, R1 = OH; B = heterocycle ring selected from (aza)pyrimidine, (aza)purine, pyrrolopyrimidine, pyrazolopyrimidine, triazolopyrimidine, imidazolopyrimidine, pyrrolopyridine, pyrazolopyridine, and triazolopyridine, which may be functionalized with NH2, HO, halo, acylamino, or acylhydroxy]. Thus, ozonolysis of 2-(pivaloyloxymethyl)cyclohex-4-enol (V; R3 = pivaloyl) (prepn. given) in MeOH and treatment of the resulting 3,4-trans-1-methoxy-3-pivaloyloxymethyl-4-(2'-hydroxyethyl)tetrahydrofuran with Dowex W50 in refluxing PhMe gave a 2,8-dioxa[1.2.3]bicyclooctane (VI) which was stirred 15 h at room temp. with bis(trimethylsilyloxy)pyrimidine in the presence of CF3SO3SiMe3 in MeCN to give II (X = O, R = OH, R1 = pivaloyloxy, B = 1-uracilyl). Reaction of the latter with EtO2CN:NCO2Et, Ph3P, and AcSH in THF gave II (X = O, R = SAc, R1 = pivaloyloxy, B = 1-uracilyl) which could be conveniently stored and deprotected immediately prior to condensation, by redn. with LiBEt3H (super-hydride) in THF to give a bishomonucleoside II (X = O, R = SH, R1 = OH, B = 1-uracilyl). No synthetic examples for I or other oligonucleotides but only synthetic schemes were given. I bind to complementary A-C-C-T-C-C-T (no data).

L23 ANSWER 16 OF 52 CA COPYRIGHT 1994 ACS
CA113(7):59783n Preparation of carbocyclic 2-aminopurine **nucleosides** as virucides. Daluge, Susan Mary (Wellcome Foundation Ltd., UK). Eur. Pat. Appl. EP 349242 A2 900103, 42 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (Eng). CODEN: EPXXDW. APPLICATION: EP 89-306467 890626. PRIORITY: GB 88-15265 880627.

AB Amino[(hydroxymethyl)cyclopentenyl]purine derivs. [I; R1 = Q-Q2; R2 = branched or straight chain (un)substituted C1-6 alkoxy, C3-6 cycloalkyl, C3-8 cycloalkyloxy, aryloxy, aralkyl, aralkyloxy, arylthio or aralkylthio (un)substituted on the aryl group, alkenylthio, alkylthio, C3-6 cycloalkylthio, (un)substituted heterocyclyl, imidazolylthio, or NH2; R3 = H, NH2, C1-6 alkyl],

useful in the treatment and prophylaxis of human immunodeficiency virus (HIV) and hepatitis B virus infection, are prepd. Thus, a mixt. of (.+-.)-cis-4-(2-amino-6-chloro-9H-purin-9-yl)-2-cyclopentene-1-methanol and cyclopropylamine in EtOH was refluxed 11.5 h to give 80% (.+-.)-I (R1 = Q, R2 = cyclopropylamine, R3 = H) (II). II in vitro showed an IC50 value of 11 .mu.M against HIV in HT4 cells. Addnl. 52 I were prepd.

L23 ANSWER 17 OF 52 CA COPYRIGHT 1994 ACS
CA112(13):119355r Preparation of 2',3'-dideoxy-2',3'-didehydro-**pyrimidine**,-azapyrimidine, or -deazapyrimidine **nucleosides** as antiviral agents against human immunodeficiency viruses (HIV). Starrett, John E., Jr.; Mansuri, Muzammil M.; Martin, John C.; Fuller, Carl E.; Howell, Henry G. (Bristol-Myers Co., USA). Eur. Pat. Appl. EP 334368 A2 890927, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (Eng). CODEN: EPXXDW. APPLICATION: EP 89-105269 890323. PRIORITY: US 88-173473 880324.
AB 2',3'-Dideoxy-2',3'-didehydronucleosides [I; X = N, CH; Y = CR5; N; Z = CH, N; R4 = OH, NH2; R5 = H, (halo-substituted) CnH2nA or (CH2)mCH:CHA; m = 0-3; n = 1-3; A = H, F, Cl, Br, iodo], useful as antiviral agents, esp. against HIV, are prepd. in high yields and on a relatively large scale by subjecting various intermediates II-IV, V (R = Br, R1 = isobutyryloxy; or R = isobutyryloxy, R1 = Br) and VI to elimination reactions by treatment of (1) II with a strong base (e.g. tert-BuOK), (2) II with an org. acid in Ac2O at 120-160.degree. for 4-8 h followed by 5-O-deacetylation, (3) IV with P(OEt)3 in a polar solvent at 140-175.degree. 0.5-4 h, (4) V with Zn/Cu in an aprotic solvent, and (5) VI with a nonnucleophilic base (e.g. Bu4NF) or nucleophilic base (e.g. tert-BuOK and KOH). Thus, mesylation of thymidine with MeSO2Cl in pyridine at 0-5.degree. gave 81% 3',5'-di-O-(methanesulfonyl)thymidine which was added portionwise to a stirred soln. of aq. NaOH and then refluxed 2 h to give 74% 1-(3,5-anhydro-2-deoxy-.beta.-D-threo-pentofuranosyl)thymine. To a stirred soln. of 90.0 g of the latter octane was added 97% tert-BuOK (74 g) portionwise over 25 min at 18-22.degree. in an ice bath. The mixt. was stirred 1 h to give 57% 1-(2,3-dideoxy-.beta.-glycero-pent-2-enofuranosyl)thymine (VII). VII showed an IC50 of 0.33 .mu.M against HIV in CEM cells vs. 0.45 for AZT.

L23 ANSWER 18 OF 52 CA COPYRIGHT 1994 ACS
CA112(9):77870x 6-substituted acyclic **pyrimidine** **nucleoside** derivatives and antiviral agents containing same as active ingredients. Miyasaka, Tadashi; Tanaka, Hiromichi; De Clercq, Erik Desire Alice; Baba, Masanori; Walker, Richard Thomas; Ubasawa, Masaru (Mitsubishi Kasei Corp., Japan). PCT Int. Appl. WO 8909213 A1 891005, 90 pp. DESIGNATED STATES: W: AU, CH, HU, JP, KR, US; RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE. (Japan). CODEN: PIXXD2. APPLICATION: WO 89-JP347 890331. PRIORITY: JP 88-76677 880331.
AB The title compds. [I; R1 = H, halo, alkyl, alkenyl, alkylcarbonyl, arylcarbonyl, arylcarbonylalkyl, arylthio, aralkyl; R2 = arylthio, cycloalkylthio, arylsulfoxido, (cyclo)alkylsulfoxido, alkenyl,

alkynyl, aralkyl, arylcarbonyl, arylcarbonylalkyl, aryloxy; R3 = hydroxyalkyl where alkyl may be interrupted by O; X = O, S, NH2; Y = O, S; A = NH], which have antiviral activity particularly against retroviruses such as human immunodeficiency virus (HIV), are prepd. Thus, a soln. of (Me2CH)2NLi in THF was added dropwise at -70.degree. to a soln. of 1-[(2-tert-butyldimethylsilyloxyethoxy)methyl]thymine in THF, followed by a soln. of (Phs)2 in THF at -70.degree.. The resulting mixt. was allowed to react 1 h to give 73% 1-[(2-tert-butyldimethylsilyloxyethoxy)methyl]-6-phenylthiothymine which was treated with AcOH in aq. THF to give 91% 1-[(2-hydroxyethoxy)methyl)]-6-phenylthiothymine. Eight I at 0.98-34.0 .mu.M inhibited 50% infection of human T cell clone MT-4 cells with HIV.

L23 ANSWER 19 OF 52 CA COPYRIGHT 1994 ACS
CA111(25):228458b Regioselective deprotection of 3',5'-O-**acylated pyrimidine nucleosides** by lipase and esterase. Uemura, Atsuhiko; Nozaki, Kenji; Yamashita, Junichi; Yasumoto, Mitsugi (Chem. Synth. Res. Lab., Taiho Pharm. Co., Ltd., Saitama 367-02, Japan). Tetrahedron Lett., 30(29), 3819-20 (Eng) 1989. CODEN: TELEAY. ISSN: 0040-4039.
AB A lipase catalyzed the regioselective hydrolysis at the secondary hydroxyl group of 2'-deoxy-3',5'-di-O-hexanoyl pyrimidine nucleosides, whereas a protease catalyzes that at the primary hydroxyl group.

L23 ANSWER 20 OF 52 CA COPYRIGHT 1994 ACS
CA111(3):23861f Aminopyrimidines and derivatives. 22. Synthesis of 3-glycopyranosyl-vic-triazolo[4,5-d]**pyrimidines**, 7-glycopyranosyl-pyrrolo[2,3-d]**pyrimidines** and 4-glycopyranosylamino-furo[2,3-d]**pyrimidines**. Nogueras, Manuel; Quijano, Maria Luisa; Sanchez, Adolfo; Melgarejo, Miguel (Col. Univ. Jaen, Univ. Granada, Jaen 23071, Spain). Nucleosides Nucleotides, 8(1), 117-32 (Eng) 1989. CODEN: NUNUD5. ISSN: 0732-8311. OTHER SOURCES: CASREACT 111:23861.
AB Pyrimidinones I (R3 = H) (R1 = H, Me; R2 = .beta.-D-tetra-O-acetylglucopyranosyl, .beta.-D-tri-O-acetylxylopyranosyl) were treated with ClCH2COCl to give I (R3 = ClCH2CO) and pyrimidinediones II (R4 = H, ClCH2CO). Also prepd. was a nucleoside triazole analog. Some of the prepd. compds. showed antitumor activity.

L23 ANSWER 21 OF 52 CA COPYRIGHT 1994 ACS
CA111(3):23859m Synthesis and antiviral activity of 5'-O-(substituted) sulfamoylpyrimidine **nucleosides**. Castro-Pichel, Julia; Garcia-Lopez, Maria Teresa; De las Heras, Federico G.; Herranz, Rosario; Perez, Concepcion; Vilas, Pilar (Inst. Quim. Med., CSIC, Madrid 28006, Spain). Arch. Pharm. (Weinheim, Ger.), 322(1), 11-15 (Eng) 1989. CODEN: ARPMAS. ISSN: 0365-6233. OTHER SOURCES: CASREACT 111:23859.
AB Nucleosides I (R = HX, X = Gly, Ala, D-Ala, Phe, R1 = H) were prepd. by treating I (R = H, R21 = CMe2) with Me3CO2C-X-OSu (Su = succinimido) and deblocking. Cytidine derivs. II (R21 = CMe2; R1 = H, Ac) were prepd. from protected cytidine and Me2CHNHSO2Cl. II showed virucidal activity against HSV-2 but I were inactive.

L23 ANSWER 22 OF 52 CA COPYRIGHT 1994 ACS
CA110(9):75950h Purines, **pyrimidines**, and imidazoles. Part 64. Alkylation and **acylation** of some aminoimidazoles related to intermediates in purine nucleotide de novo and thiamine biosynthesis. Mackenzie, Grahame; Wilson, Hilary A.; Shaw, Gordon; Ewing, David (Humberside Coll. Higher Educ., Hull HU6 7RT, UK). J. Chem. Soc., Perkin Trans. 1 (9), 2541-6 (Eng) 1988. CODEN: JCPRB4. ISSN: 0300-922X. OTHER SOURCES: CASREACT 110:75950; CJRSC.
AB Imidazoles I [R1 = R2 = H, R3 = H, Me, (CH2)2CN, CHO; R1 = Me, R2 = R3 = H; R1 = R2 = Ac, R3 = H; R1 = Ac, R2 = R3 = H] and imidazole nucleosides II [R1 = R3 = R4 = H, R2 = Me, (CH2)2CN, (CH2)2CO2Et, (CH2)2OEt; R1 = R2 = R3 = H, R4 = Me; R1 = Me3CCO, R2 = (CH2)2CO2Et, R3 = H, R4 = CONMe2] were prepd. Thus, Et .alpha.-amino-.alpha.-cyanoacetate (III) was refluxed with HC(OEt)3 in MeCN and then treated with PhCH2NH2 to give 58% I (R1 = R2 = R3 = H). 2,3-O-Isopropylidene-D-ribofuranosylamine p-toluenesulfonate was treated with Et acetamidate and then with III to give II (R1 = R3 = R4 = H, R2 = Me; 2% .alpha.-anomer and 30% .beta.-anomer).

L23 ANSWER 23 OF 52 CA COPYRIGHT 1994 ACS
CA107(25):237198m **Nucleosides** and nucleotides. LXXII. Synthesis of 6,5'-cyclo-2',5'-dideoxypyrimidine **nucleosides**. Suzuki, Yukari; Matsuda, Akira; Ueda, Toru (Fac. Pharm. Sci., Hokkaido Univ., Sapporo 060, Japan). Chem. Pharm. Bull., 35(3), 1085-92 (Eng) 1987. CODEN: CPBTAL. ISSN: 0009-2363. OTHER SOURCES: CASREACT 107:237198.
AB 6,5'-Cyclo-2',5'-dideoxyuridine (I) and 6,5'-cyclo-5'-deoxythymidine (II) pyrimidine deoxynucleosides fixed in the anti conformation, were prepd. The key intermediate, 3'-O-acetyl-5-chloro-Bu3SnH to the 6,5'-cyclo-5-chloroh deriv., then dehydrochlorinated to furnish, after de-O-acetylation, I for the prepn. of II, 3'-O-acetyl-2,5'-dideoxy-5'-iodo-5-phenylthiomethyluridine was prepd. from 2'-deoxyuridine and this compd. was cyclized by treatment with Bu3SnH to yield, after de-O-acetylation, II.

L23 ANSWER 24 OF 52 CA COPYRIGHT 1994 ACS
CA107(15):134623s Preparation of fluoropyrimidine and fluoropyrimidine **nucleosides** derivatives as antitumor agents. Nakamizo, Yoshihiro; Kuroda, Tokuyuki; Hisamura, Koji; Matsukuma, Masao; Morimoto, Makoto; Ashizawa, Tadashi (Kyowa Hakko Kogyo Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 62093281 A2 870428 Showa, 21 pp. (Japan). CODEN: JKXXAF. APPLICATION: JP 85-232120 851017.
AB The title compds. [I, X = H or X1; X1 = NR1R2, OR3, SO2R4, CO2R5, N:S(O)R6R7, N:CR8R9, Q (n = 1,2), CH2SZ1; R1,R2 = H, alkyl, aryl, aralkyl, CO2Z1, CS2Z2, SO2Z2, C(S)NHZ2, C(S)OZ2, Q, Q1, Q2; R5, Z1 = alkyl aryl, aralkyl; Z2 = H, alkyl, aryl, aralkyl, CO2Z1; R3 = aryl, aralkyl, CO2Z2, NH2, NHSO2NZ12, NHSO2CH:CHZ1, CH2SZ1, etc.; R4 = CF3, CH:CHZ2, substituted aryl; R6, R7 = alkyl; R8,R9 = SZ2; Y = X1, Q4; W1 = H, X1; W2 = H, OH], which release the corresponding antitumor agents, e.g., 5-fluorouracil, upon irradn., were prepd. Reaction of 5-fluorouridine with H2NOSO3H in DMF at 0.degree. gave I (X = NH2, Q4 where W1 = W2 = OH) which was acylated by PhOC(S)Cl in DMF contg. dimethylaminopyridine to give I (X = NHC(S)OPh, Y = Q4,

W1 = W2 = OH). This at 1000 .mu.g was administered inside the tumor in mice transplanted with leukemia P388 cells and after 30 min the mice were irradiated under 137Cs at 1000R. After 7 days, the tumor size was reduced by 47% over the control.

L23 ANSWER 25 OF 52 CA COPYRIGHT 1994 ACS
CA106(3):12533w Benzylacyclouridines as **nucleoside** transport inhibitors and their effects on **pyrimidine** analog cytotoxicity. Lee, Kang Hyun (Brown Univ., Providence, RI, USA). 133 pp. Avail. Univ. Microfilms Int., Order No. DA8617589 From: Diss. Abstr. Int. B 1986, 47(5), 1915 (Eng) 1986.
AB Unavailable

L23 ANSWER 26 OF 52 CA COPYRIGHT 1994 ACS
CA105(17):153466t Regioselective protection of carbohydrate derivatives. Part 20. Simple, efficient 2'-O-deacylation of fully **acylated** purine and **pyrimidine** ribonucleosides through tert-butoxide. Nishino, Shigeyoshi; Takamura, Hatsuko; Ishido, Yoshiharu (Fac. Sci., Tokyo Inst. Technol., Tokyo 152, Japan). Tetrahedron, 42(7), 1995-2004 (Eng) 1986. CODEN: TETRAB. ISSN: 0040-4020. OTHER SOURCES: CASREACT 105:153466.
AB A simple treatment of fully aroylated purine and pyrimidine ribonucleosides with pulverized potassium tert-butoxide in THF or dichloromethane under a controlled condition gave a mixt. of the corresponding di-O-aroyl derivs. in which 2'-OH derivs. are preponderant over 3'-OH derivs.; 3',5'-di-O-benzoyluridine, N4,3',5'-tribenzoylcytidine, N4,3',5'-tri-o-toluoylcytidine, N2,3',5'-tribenzoylguanosine, and N2- isobutyryl-3',5'-di-O-benzoylguanosine were obtained cryst. in 80%, 78%, 72%, 67%, and 65% yields, resp.

L23 ANSWER 27 OF 52 CA COPYRIGHT 1994 ACS
CA105(7):60878p Partial protection of carbohydrate derivatives. Part 18. Simple preparative procedure for 5'-O-acylribonucleosides; highly regioselective O-deacylation at 2' and 3' positions of fully **acylated** purine and **pyrimidine** ribonucleosides with the sodium methoxide-THF system. Nishino, Shigeyoshi; Rahman, Mohamed Azizur; Takamura, Hatsuko; Ishido, Yoshiharu (Fac. Sci., Tokyo Inst. Technol., Tokyo 152, Japan). Tetrahedron, 41(23), 5503-6 (Eng) 1985. CODEN: TETRAB. ISSN: 0040-4020. OTHER SOURCES: CASREACT 105:60878.
AB A treatment of fully acylated purine and pyrimidine ribonucleosides with a small excess amt. of NaOMe in THF at room temp. gave the corresponding 5'-acylates in 81-85% yields; N-acyl groups on the nucleic acid base moieties of adenosine and cytidine in addn. to guanosine derivs. satisfactorily survived under the conditions used.

L23 ANSWER 28 OF 52 CA COPYRIGHT 1994 ACS
CA103(13):105256m A purine/7-deazapurine dinucleoside monophosphate containing 2-amino-7H-pyrrolo[2,3-d]**pyrimidine** as fluorescent base. Seela, Frank; Engelke, Ute (Univ. Paderborn, Paderborn D-4790, Fed. Rep. Ger.). Liebigs Ann. Chem. (6), 1175-84 (Ger) 1985. CODEN: LACHDL. ISSN: 0170-2041. OTHER SOURCES: CASREACT 103:105256.

AB Title dinucleoside monophosphate I was prepd. by condensation of the protected monomers and bis(1-benzotriazolyl) 2-chlorophenyl phosphate followed by deprotection. The strong fluorescence of the deazapurine nucleoside II is quenched to .apprx.1/10 of its original intensity when II is incorporated into the dinucleotide I. This indicates strong stacking interactions between the purine and the pyrrolo[2,3-d]pyrimidine base.

L23 ANSWER 29 OF 52 CA COPYRIGHT 1994 ACS
CA98(16):132344y Use of 5-alkylpyrimidine **nucleosides** as virostats. Kiefer, Gebhard; Keppeler, Klaus; Kaul, Ravinder; Hempel, Bernd; Gauri, Kailash Kumar (Robugen G.m.b.H. Pharmazeutische Fabrik Esslingen A. N., Fed. Rep. Ger.). Ger. Offen. DE 3125291 A1 830127, 15 pp. Addn. to Ger. Offen. 3,010,397. (Ger). CODEN: GWXXBX. APPLICATION: DE 81-3125291 810626. PRIORITY: DE 80-3010397 800318.
AB Compns. contg. 5-alkylpyrimidine nucleosides [I, R = C2-10 alkyl, X = H or OH, Y = COR1, COCH2O2CR1, or COCHMeO2COR1 (R1 = C1-6 alkyl), 1-adamantanoyl, CO(CH2)nC6H4R2 (R2 = NH2, CO2H, n = 1-4), CO(CH2)nCN (n = 1-4), COC(Hal)3 (Hal = F or Cl) and CO(CH2)nCOA (n = 1-4 and A = a pyrimidine nucleoside)] are virustats and can be administered i.p. or topically. Thus, 5-ethyl-2'-deoxyuridine 5'-O-pivaloate (I, R = Et, X = H, Y = pivaloyl)(II) [80279-96-5] was prepd. by acylation of 5-ethyl-2'-deoxyuridine [15176-29-1] with pivaloyl chloride [3282-30-2] in pyridine soln. In mice, i.p. administration of II at 1 mg/dosage produced the same protecting effect as 5-ethyl-2'-deoxycyridine at 5 mg.

L23 ANSWER 30 OF 52 CA COPYRIGHT 1994 ACS
CA97(21):182804y Substituted **pyrimidine nucleosides** with an antiviral activity and drug forms prepared with them. Keppeler, Klaus; Kiefer, Gebhard (Robugen G.m.b.H. Pharmazeutische Fabrik Esslingen a. N., Fed. Rep. Ger.). Ger. Offen. DE 3045375 A1 820701, 13 pp. (Ger). CODEN: GWXXBX. APPLICATION: DE 80-3045375 801202.
AB Nucleosides I [R = alkoxymethyl, CH2OCH2CH:CH2, haloallyloxymethyl, alkyl; R1 = H, OH; R2 = halo, OH; R3 = OH, carbamoyloxy, acylamino, OP(O)(OR4)2, P(O)(OR4)2, COCH2P(O)(OR4)2, COP(O)(OR4)2; R4 = H, Na, NH4] were prepd. Thus, 2',3'-dideoxy-5-ethyl-3'-chlorouridine was prepd. by chlorinating 5'-O-trityl-2'-deoxy-5-ethyluridine and deblocking.

L23 ANSWER 31 OF 52 CA COPYRIGHT 1994 ACS
CA95(23):203871u Analogs of **pyrimidine nucleosides**. 15. Synthesis of 3'-hydroxy- and 4'-hydroxyfluorofurs. Kaulina, L.; Zhuk, R. A.; Lidaks, M. (Inst. Org. Sint., Riga 226006, USSR). Khim. Geterotsikl. Soedin. (8), 1094-6 (Russ) 1981. CODEN: KGSSAQ. ISSN: 0453-8234.
AB The title fluorofurs I (R = H) and II (R1 = H), metabolites of fluorofur, were prepd. by chlorination of 3-(benzoyloxy)tetrahydrofuran to give a mixt. of 2-chloro-3-(benzoyloxy)- and 2-chloro-4-(benzoyloxy)tetrahydrofuran. Treatment of this mixt. with 2,4-bis(trimethylsiloxy)-5-fluoropyrimidine gave I (R = Bz) and II (R1 = Bz), which were sapon. by NH3 in MeOH.

L23 ANSWER 32 OF 52 CA COPYRIGHT 1994 ACS
CA93(13):132723t Partial protection of carbohydrate derivatives. Part 4. Regioselective 2'-O-deacylation of fully **acylated** purine and **pyrimidine** ribonucleosides with hydroxylaminium acetate. Ishido, Yoshiharu; Sakairi, Nobuo; Okazaki, Kei; Nakazaki, Nobuo (Dep. Chem., Tokyo Inst. Technol., Tokyo 152, Japan). J. Chem. Soc., Perkin Trans. 1 (2), 563-73 (Eng) 1980. CODEN: JCPRB4. ISSN: 0300-922X.
AB Di-O-acylribonucleosides were prepd. by partial regioselective O-deacylation of fully protected purine and pyrimidine ribonucleosides by HONH2.HOAc in higher yields than in deacylation with N2H4.H2O. E.g. the ribonucleosides I (R = R1 = Bz; R2 = adenin-9-yl, N6-benzyladenin-9-yl, N2-benzoylguanin-9-yl) were regioselectively deacylated by HONH2.HOAc to give I (R = Bz; R1 = H; R2 = as before) in yields of 74, 64, and 66%, resp. Treatment of fully acylated ribonucleosides with excess HONH2.HOAc gave the corresponding 5'-O-acetylribonucleosides in quant. yields.

L23 ANSWER 33 OF 52 CA COPYRIGHT 1994 ACS
CA92(7):59149h Patial protection of carbohydrate derivatives. Part 3. Regioselective 2'-O-deacylation of fully **acylated** purine and **pyrimidine** ribonucleosides with hydrazine hydrate. Ishido, Yoshiharu; Nakazaki, Nobuo; Sakairi, Nobuo (Dep. Chem., Tokyo Inst. Technol., Tokyo, Japan). J. Chem. Soc., Perkin Trans. 1 (8), 2088-98 (Eng) 1979. CODEN: JCPRB4. ISSN: 0300-922X.
AB In 1:4 (vol./vol.) AcOH-pyridine, partial O-deacylation of fully acylated purine and pyrimidine ribonucleosides upon hydrazinolysis was induced regioselectively in respect to 3 ester functions at the 2'-position to give the corresponding 2'-OH analogs in good yields. E.g., 3',5'-di-O-benzoyladenosine (70%), -inosine (52%), and -uridine (39%), N2-benzoyl-3',5'-diacetyl- (42%) and N2,3',5'-tribenzoylguanosine (63%) were isolated. 5'-O-Acylribonucleosides were prepd. quant. using an excess of H2NNH2.H2O in 1:1 (vol./vol.) CHCl3-MeOH and in pyridine. Hydrazinolysis of 3',5'-di-O-acetyl-2'-deoxyribonucleosides in pyridine gave both 5'- and 3'-O-acetyl-2'-deoxyribonucleosides (80-90% total yields). The 2'-O-acetyl group is more labile toward the nucleophile than the 3'-O-acetyl group. Possible factors involved in the regioselectivity of hydrazinolysis are discussed.

L23 ANSWER 34 OF 52 CA COPYRIGHT 1994 ACS
CA92(5):42303f Synthesis of 5-methylmercaptopyrimidine **nucleosides**. Ryu, E. K.; Bardos, T. J. (Dep. Med. Chem., State Univ. New York, Buffalo, NY 14260, USA). J. Heterocycl. Chem., 16(5), 1049-55 (Eng) 1979. CODEN: JHTCAD. ISSN: 0022-152X.
AB The synthesis of a series of new nucleosides, including ribo-, 2'-deoxyribo-, and arabinofuranosides of 5-(S-methyl)mercapto-substituted uracil, 4-thiouracil, and cytosine is described. The synthetic methods employed include condensation reactions of the silylated pyrimidine with blocked sugar halides, as well as transformations of both the base and sugar moieties. Sepd. .alpha. and .beta. anomers were identified by NMR spectra as well as by unambiguous synthetic routes of interconversions.

L23 ANSWER 35 OF 52 CA COPYRIGHT 1994 ACS
CA92(5):33780g Design of species- or isozyme-specific enzyme inhibitors. 2. Differences between a bacterial and a mammalian thymidine kinase in the effect of thymidine substituents on affinity for the thymidine site. Hampton, Alexander; Kappler, Francis; Chawla, Ram R. (Fox Chase Cancer Cent., Inst. Cancer Res., Philadelphia, PA 19111, USA). J. Med. Chem., 22(12), 1524-8 (Eng) 1979. CODEN: JMCMAR. ISSN: 0022-2623.
AB A few new and a no. of previously synthesized monosubstituted thymidines and uridines were evaluated as inhibitors of Escherichia coli and hamster thymidine kinase [72163-57-6]. Affinity for the enzymic thymidine binding sites was assessed from apparent enzyme-inhibitor dissoc. consts. (Ki values, for inhibitions competitive with respect to thymidine at near-satg. ATP levels) or I50 values (for noncompetitive inhibitions). 5'-C-(Acetamidomethyl)- and 5'-C-(propionamidomethyl)thymidine epimers inhibited both enzymes competitively, and the extra Me present in the propionamido derivs. resulted in 7.5- and 9-fold differential effects on binding. Thus, thymidine derivs. can bind to the thymidine sites of E. coli and hamster thymidine kinase in a species-selective manner. Structure-activity relations are discussed.

L23 ANSWER 36 OF 52 CA COPYRIGHT 1994 ACS
CA90(21):168876x 4'-Substituted **nucleosides**. 4. Synthesis of some 4'-hydroxymethyl **nucleosides**. Youssefyeh, Raymond D.; Verheyden, Julien P. H.; Moffatt, John G. (Inst. Mol. Biol., Syntex Res., Palo Alto, Calif., USA). J. Org. Chem., 44(8), 1301-9 (Eng) 1979. CODEN: JOCEAH. ISSN: 0022-3263.
AB 4-(Acetoxymethyl)-1,2,3,5-tetra-O-acetyl-D-erythro-pentofuranose (I) was prepd. by mixed aldol condensation of 1,2-O-isopropylidene-.alpha.-D-xylo-pentodialdofuranose with HCHO which gave as its major product, 4-(hydroxymethyl)-1,2-O-isopropylidene-.beta.-L-threo-pentofuranose (II). Inversion of configuration at C-3 was achieved via an oxidn.-redn. sequence and subsequent acetolysis gave I. I was also obtained by a mixed aldol condensation between 3-O-benzyl-1,2-O-isopropylidene-.alpha.-D-ribo-pentodialdofuranose and HCHO followed by debenzylation, acetylation, and acetolysis. The condensation of I with purine and pyrimidine bases and their analogs gave 4'-hydroxymethyl nucleosides. These nucleosides have no significant in vitro antibacterial or antifungal activity and no antiviral activity or cytotoxicity in tissue culture systems.

L23 ANSWER 37 OF 52 CA COPYRIGHT 1994 ACS
CA90(15):121933y Equilibration between 3',5'- and 2',5'-di-O-acylribonucleosides on silica gel in the regioselective 2'-O-deacylation of fully **acylated** ribonucleosides. Ishido, Yoshiharu; Sakairi, Nobuo; Hirao, Ichiro (Fac. Sci., Tokyo Inst. Technol., Tokyo, Japan). Nucleic Acids Res., Spec. Publ., 5(Symp. Nucleic Acids Chem., 6th), 263-5 (Eng) 1978. CODEN: NARPD6. ISSN: 0309-1872.
AB Excellent regioselectivity obsd. in the partial O-deacylation of fully acylated purine and pyrimidine ribonucleosides by means of hydrazinolysis and hydroxyaminolysis was a result of column

chromatog. sepn. of di-O-acylribonucleoside mixts. resulting from the aminolyses on silica gel (Wakogel C-300); the mixts. having already attained equil. in the reaction media were re-equilibrated on the silica gel to afford mixts. of 3',5'- and 2',5'-di-O-acylribonucleosides contg. the former preponderantly.

L23 ANSWER 38 OF 52 CA COPYRIGHT 1994 ACS
CA88(19):136890q Regioselective 2'-O-deacylation of fully **acylated** purine and **pyrimidine** ribonucleosides with hydroxylamine. Ishido, Yoshiharu; Sakairi, Nobuo (Fac. Sci., Tokyo Inst. Technol., Tokyo, Japan). Nucleic Acids Res., Spec. Publ., 3, 13-15 (Eng) 1977. CODEN: NARPD6. ISSN: 0309-1872.
AB Hydroxylaminolysis of fully acylated purine and pyrimidine ribonucleosides with hydroxylaminium acetate in pyridine was induced regioselectively at 2'-position to give the corresponding 3',5'-di-O-acyl derivs in 53-85% yields. A series of prepns. of 5'-O-acylribonucleosides were successfully performed by use of an excess amt. of the agent.

L23 ANSWER 39 OF 52 CA COPYRIGHT 1994 ACS
CA88(5):31892p **Nucleosides**. 107. Synthesis of 5-(.beta.-D-arabinofuranosyl)isocytosine and related C-**nucleosides**. Chu, C. K.; Reichman, U.; Watanabe, K. A.; Fox, J. J. (Sloan-Kettering Inst., Cornell Univ., New York, N. Y., USA). J. Med. Chem., 21(1), 96-100 (Eng) 1977. CODEN: JMCMAR.
AB Treatment of 5-(.beta.-D-ribofuranosyl)isocytosine-HCl [59464-15-2] with .alpha.-acetoxyisobutyryl chloride [40635-66-3] or o-acetoxybenzoyl chloride [5538-51-2] gave a protected 4,2'-anhydro nucleoside which upon deprotection and alk. hydrolysis gave 5-(.beta.-D-arabinofuranoysl)isocytosine (I) [61403-53-0]. Neither I nor any of several other new pyrimidine C-nucleosides had significant inhibitory activity against leukemia L1210 cells in vitro.

L23 ANSWER 40 OF 52 CA COPYRIGHT 1994 ACS
CA87(19):152517h Unsaturation of the 2',3'-position in **pyrimidine nucleosides**. Yamazaki, Tatsumi; Shiraishi, Hiroyuki; Matsuda, Kazuo; Yamaoka, Naotaka; Sugiyama, Hiroshi; Seto, Shuichi (Ajinomoto Co., Inc., Japan). Japan. Kokai JP 52031080 770309 Showa, 7 pp. (Japan). CODEN: JKXXAF. APPLICATION: JP 75-106422 750902.
AB Unsatn. of the 2',3'-position in pyrimidine nucleosides was effected by conversion of the 2'- and 3'-OH groups into SO2Me groups followed by reaction in the presence of halides and metals to give I. Thus, 1-(4',6'-O-benzylidene-.beta.-D-glucopyranosyl)thymine and MeSO2Cl in pyridine at 0-5.degree. gave 95.9% II, which was heated in DMF contg. PhCO2Na 1 h at 120-30.degree. to give III. Refluxing a mixt. of III, Zn, and NaI 2 h gave 44% I (R = Me). I (R = H) was also prepd.

L23 ANSWER 41 OF 52 CA COPYRIGHT 1994 ACS
CA86(19):140381a A novel procedure for regioselective 2'-O-deacylation of fully **acylated** purine and **pyrimidine** ribonucleosides with hydrazine hydrate. Ishido, Yoshiharu;

Nakazaki, Nobuo; Sakairi, Nobuo (Fac. Sci., Tokyo Inst. Technol., Tokyo, Japan). Nucleic Acids Res., Spec. Publ., 2(Symp. Nucleic Acids Chem., 4th, 1976), 25-8 (Eng) 1976. CODEN: NARPD6.

AB Hydrazinolyses of e.g. N6,N6,2',3',5'-pentabenzoyladenosine, N2,2',3',5'-tetrabenzoylguanosine, in AcOH-pyridine were regioselectively induced at 2' position of the alc. functions to give 63 and 44% of the corresponding 2'-hydroxyl derivs., resp. The procedure was also effective for partial debenzoylation of benzoylated uridine and cytidine although there was poorer regioselectivity. The treatment of N4,2',3',5'-tetraacetylcytidine was accompanied by no side reactions obsd. in that of the corresponding benzoate. The procedure was applied to the partial deacylation of 2'-deoxyribonucleoside acylates.

L23 ANSWER 42 OF 52 CA COPYRIGHT 1994 ACS

CA86(15):106947e Novel procedure for regioselective 2'-O-deacylation of fully **acylated** purine and **pyrimidine** ribonucleosides with hydrazine hydrate. Ishido, Yoshiharu; Nakazaki, Nobuo; Sakairi, Nobuo (Dep. Chem., Tokyo Inst. Technol., Tokyo, Japan). J. Chem. Soc., Chem. Commun. (20), 832-3 (Eng) 1976. CODEN: JCCCAT.

AB Hydrazinolysis of N6,N6,2',3',5'-pentabenzoyladenosine, N2,2',3',5'-tetrabenzoylguanosine, and 2',3',5'-tri-O-benzoylinosine with NH2NH2.H2O in AcOH-pyridine gave 68-70% of the corresponding 2'-OH derivs. Fully benzoylated uridine and cytidine were debenzoylated similarly but the regioselectivity obsd. was not as good. The same trend was obsd. in the hydrazinolysis of the corresponding acetates. E.g., hydrazinolysis of 2',3',5'-O-acetyladenosine gave 60% 3',5'-di-O-acetyladenosine.

L23 ANSWER 43 OF 52 CA COPYRIGHT 1994 ACS

CA86(11):73038d **Nucleosides**. CIII. Anhydropyrimidine C-**nucleosides**. Synthesis of 4,2'-anhydro-5-(.beta.-D-arabinofuranosyl)- and 5-(.beta.-D-arabinofuranosyl) **pyrimidine** C-**nucleosides**. Reichman, U.; Chu, C. K.; Wempen, I.; Watanabe, K. A.; Fox, J. J. (Sloan-Kettering Inst., Cornell Univ., New York, N. Y., USA). J. Heterocycl. Chem., 13(4), 933-5 (Eng) 1976. CODEN: JHTCAD.

AB 4,2'-Anhydro-5-(.beta.-D-arabinofuranosyl)isocytosine (I) and -uracil (II) were prepd. Treatment of isocytidine III with either Me2C(OAc)COCl or O-HOC6H4COCl in MeCN gave the acylated anhydronucleosides. Deacylation with MeOH-HCl gave cryst. 4,2'-anhydro-5-(.beta.-D-arabinofuranosyl)isocytosine HCl. Analogous reaction of uridine IV gave a mixt. of the acylated anhydronucleoside and 2'-chloro-2'-deoxyuridine. Refluxing I with 10% NaOH for 30 mins and treatment of II with Dowex 50(H+) gave 5-.beta.-D-arabinofuranosylisocytosine and -uracil resp.

L23 ANSWER 44 OF 52 CA COPYRIGHT 1994 ACS

CA84(23):159532f Synthesis and biological activity of pyrazolo[3,4-d] **pyrimidine nucleosides** and nucleotides related to tubercidin, toyocamycin, and sangivamycin. Hecht, Sidney M.; Frye, R. Bruce; Werner, Dieter; Fukui, Toshikazu; Hawrelak, S. D. (Dep. Chem., Massachusetts Inst. Technol., Cambridge, Mass., USA).

Biochemistry, 15(5), 1005-15 (Eng) 1976. CODEN: BICHAW.

AB Title compd. 6-azasangivamycin (I) [55559-56-3] was prepd. from its O-acetylated precursor, while 6-azatoyocamycin (II) [55559-55-2] was prepd. via 4-amino-1-(.beta.-D-ribofuranosyl)pyrazolo[3,4-d]pyrimidine-3-thiocarboxamide (III) [55559-54-1]. III was more cytotoxic to the growth of mouse fibroblasts than 6-azatubercidin (IV) [3258-05-7], killing 3T6 cells at .ltoreq.1 .mu.g/ml. III was a substrate for adenosine deaminase from calf intestinal mucosa with an apparent Km of 125, and 6-azatubercidin 5'-diphosphate triethylammonium salt [59032-36-9] was polymerized by polynucleotide phosphorylase to a homopolymer and copolymers with adenosine. The copolymers directed the binding of lysyl-tRNA to the A-site of ribosomes from Escherichia coli.

L23 ANSWER 45 OF 52 CA COPYRIGHT 1994 ACS

CA84(21):144602e Synthesis and biological studies of 3-(.beta.-D-ribofuranosyl)-2,3-dihydro-6H-1,3-oxazine-2,6-dione, a new **pyrimidine nucleoside** analog related to uridine. Chwang, Tek-Ling; Wood, William F.; Parkhurst, J. Rodney; Nesnow, Stephen; Danenberg, Peter V.; Heidelberger, Charles (McArdle Lab. Cancer Res., Univ. Wisconsin, Madison, Wis., USA). J. Med. Chem., 19(5), 643-7 (Eng) 1976. CODEN: JMCMAR.

AB Reaction of the trimethylsilylated 2,3-dihydro-6H-1,3-oxazine-2,6-dione (uracil anhydride) [34314-63-1] with 1-O-acetyl-2,3,5-tri-O-(2,2,2-trichloroethoxycarbonyl)ribofuranose [58569-74-7] followed by removal of the blocking groups with Zn dust gave 3-(.beta.-D-ribofuranosyl)-2,3-dihydro-6H-1,3-oxazine-2,6-dione (I) [52630-31-6]. Uracil anhydride, and to a lesser extent, I, exert a moderate growth inhibition of mouse leukemia L5178Y, HeLa, and Novikoff hepatoma cells in culture, and both compds. show weak inhibition of vaccinia viral replication in HeLa cells.

L23 ANSWER 46 OF 52 CA COPYRIGHT 1994 ACS

CA84(21):144568y Reactions of 2-acyloxyisobutyryl halides with **nucleosides**. 6. Synthesis and biological evaluation of some 3'-acyl derivatives of 2,2'-anhydro-1-(.beta.-D-arabinofuranosyl)cytosine hydrochloride. Hamamura, Ernest K.; Prystasz, Miroslav; Verheyden, Julien P. H.; Moffatt, John G.; Yamaguchi, Kenji; Uchida, Naomi; Sato, Kosaburo; Nomura, Akio; Shiratori, Osamu; et al. (Inst. Mol. Biol., Syntex Res., Palo Alto, Calif., USA). J. Med. Chem., 19(5), 654-62 (Eng) 1976. CODEN: JMCMAR.

AB The reaction of cytidine [65-46-3] with 22 different 2-O-acyloxyisobutyryl chlorides gave the corresponding 2,2'-anhydro-1(3'-O-acyl-.beta.-D-arabinofuranosyl)cytosine-HCl compds. (I-HCl). All I showed cytotoxicity against HeLa cells in tissue culture, with the satd. C6-C12 esters being roughly twice as effective as 2,2'-anhydro-1-(.beta.-D-arabinofuranosyl)cytosine-HCl [10212-25-6]. Max. activity against Vaccinia and Herpes simplex viruses in tissue culture was shown by compds. contg. C8-C12 acyl groups, while high activity against L1210 leukemia in mice was obsd. in the case of the long chain C16-C22 esters.

L23 ANSWER 47 OF 52 CA COPYRIGHT 1994 ACS

CA84(21):144567x Reactions of 2-acyloxyisobutyryl halides with **nucleosides**. 7. Synthesis and biological evaluation of some 2,2'-anhydro-1-(3',5'-di-O-acyl-.beta.-D-arabinofuranosyl)cytosine hydrochlorides. Hamamura, Ernest K.; Prystasz, Miroslav; Verheyden, Julien P. H.; Moffatt, John G.; Yamaguchi, Kenji; Uchida, Naomi; Sato, Kosaburo; Nomura, Akio; Shiratori, Osamu; et al. (Inst. Mol. Biol., Syntex Res., Palo Alto, Calif., USA). J. Med. Chem., 19(5), 663-7 (Eng) 1976. CODEN: JMCMAR.

AB Acylation of 2,2'-anhydro-1-(.beta.-D-arabinofuranosyl)cytosine-HCl or 2,2'-anhydro-1-(3'-O-acetyl-.beta.-D-arabinofuranosyl)cytosine-HCl [50896-83-8] with a homologous series of satd. and unsatd. acyl chlorides gave 22 diesters (I). The diesters all showed cytotoxicity against HeLa cells in tissue culture and many had pronounced activity against Vaccinia and Herpes simplex viruses. The C12-C14 satd. diesters and C18-C22 unsatd. diesters were highly effective against L1210 leukemia in mice. Structure-activity relations were discussed.

L23 ANSWER 48 OF 52 CA COPYRIGHT 1994 ACS

CA80(25):146446y Synthesis of a new **pyrimidine nucleoside** analog related to uridine. Chwang, T. Ling; Heidelberger, Charles (McArdle Lab. Cancer Res., Univ. Wisconsin, Madison, Wis., USA). Tetrahedron Lett. (1), 95-8 (Eng) 1974. CODEN: TELEAY.

AB Acylation of Me .beta.-D-ribofuranoside by Cl3CCH2OCOCl in DMF-pyridine and treatment with HOAc-Ac2O contg. H2SO4 gave the protected sugar I. Silylation of 3H-2,3-dihydro-1,3-oxazine-2,6-dione and condensation with I in the presence of SnCl4 and Cl(CH2)2Cl gave a blocked nucleoside which was deprotected with Zn dust in HOAc to give the .beta.-nucleoside II.

L23 ANSWER 49 OF 52 CA COPYRIGHT 1994 ACS

CA79(25):146487s .alpha.,.beta.-Unsaturated lactones. I. Condensation of 5-bromo-2(5H)-furanones with adenine and uracil derivatives. Doerr, Iris L.; Willette, Robert E. (Sch. Pharm., Univ. Connecticut, Storrs, Conn., USA). J. Org. Chem., 38(22), 3878-87 (Eng) 1973. CODEN: JOCEAH.

AB The syntheses of some 5-(1-pyrimidinyl)- and 5-(purin-9-yl)-2(5H)-furanone derivs., which are nonsugar nucleoside analogs of potential biol. interest, are described. 5-Bromo-3-methyl-4-ethyl-2(5H)-furanone (I) and its 3,4-unsubstituted (II) and -dichloro analogs and were synthesized from the corresponding 5-hydroxy-2(5H)-furanone derivs. Using the Hilbert-Johnson procedure, reaction of 2,4-dimethoxypyrimidine with I and II gave 4-methoxypyrimidinyl intermediates which were hydrolyzed to 5-(uracil-1-yl)-4-ethyl-3-methyl-2(5H)-furanone and the unsubstituted analog in good yields. In the dichlorofuranone series, the pyrimidinyl intermediate III, but not the uracilyl analog IV, was prepd. Alkylation of adenine with 5-bromofuranone I in DMF contg. K2CO3 gave 5-(6-amino-9H-purin-9-yl)-4-ethyl-3-methyl-2(5H)-furanone in 22% yield, together with 6% an isomeric product. The proposed structure for the isomer was a tricyclic adenine deriv. (V), which contains a diazepine ring. It could be prepd. in higher yield by changing the reaction solvent to

pyridine. V was chlorinated with SOCl2 to the 7-chlorodiazepino analog, which was converted into 7-methoxy and -ethoxy analogs. Uv, ir, mass spectra, PMR, and L1210 screening data are reported and discussed.

L23 ANSWER 50 OF 52 CA COPYRIGHT 1994 ACS
CA77(19):126985h Synthesis of **pyrimidine nucleosides** via fully **acylated** sugars. Ogawa, Tomoya; Yasui, Motoshi; Matsui, Masanao (Inst. Phys. Chem. Res., Wako, Japan). Agr. Biol. Chem., 36(6), 913-16 (Eng) 1972. CODEN: ABCHA6.
AB Heating a soln. of bis(trimethylsilyl)uracil (I), penta-O-acetyl-.beta.-D-glucopyranose (II), and (Me2N)3PO contg. BF3-Et2O 15 min at 190.degree. gave 26% 1-(2,3,4,6-tetra-O-acetyl-.beta.-D-glucopyranosyl)uracil (III). Analogously prepd. were 14% 1-(2,3,4,6-tetra-O-acetyl-.beta.-D-glucopyranosyl)thymine (IV), 14% 1-(2,3,5-tri-O-benzoyl-.beta.-D-ribofuranosyl)uracil (V), and 67% 1-(2,3,5-tri-O-benzoyl-.beta.-D-ribofuranosyl)thymine (VI). Treating a soln. of I and II in MeOCH2CH2OMe contg. SbCl5 at room temp. for 6 days gave 19% III. Analogously prepd. were 38.4% IV, 55.1% V, and 35.5% VI.

L23 ANSWER 51 OF 52 CA COPYRIGHT 1994 ACS
CA74(23):125981e **Nucleosides**. III. New method for the selective N6-**acylation** of cytidine. Blank, Heinz U.; Pfleiderer, Wolfgang (Inst. Org. Chem., Univ. Stuttgart, Stuttgart, Ger.). Justus Liebigs Ann. Chem., 742, 29-33 (Ger) 1970. CODEN: JLACBF.
AB Acylation of cytidine with 5-(acyloxyimino)-2,6-dioxo-4-(methylimino)-1,3-dimethylhexahydropyrimidines in DMF gave 39-72% N6-acylcytidines (I).

L23 ANSWER 52 OF 52 CA COPYRIGHT 1994 ACS
CA70(23):106819j Catalytic condensation reactions of **acylated** halo sugars with silylpurine and silylpyrimidine derivatives in organic solvents. Shimizu, Bunji; Saito, Akio (Cent. Res. Lab., Sankyo Co., Ltd., Tokyo, Japan). Agr. Biol. Chem. (Tokyo), 33(1), 119-21 (Eng) 1969. CODEN: ABCHA6.
AB A mild condensation procedure is described for the prepn. of nucleosides or nucleotides. Thus, N9,N6-bis(trimethylsilyl)-N6-benzoyladenine is reacted with 2,3,5-tri-O-benzoyl-D-ribofuranosyl bromide (I) in benzene at room temp. 3 hrs. in the presence of HgBr2 to give a mixt. of 40% N6-benzoyl-9-(tri-O-benzoyl-.beta.-D-ribofuranosyl)adenine (II), and 30% N6-benzoyl-7-(tri-O-benzoyl-.beta.-D-ribofuranosyl)adenine (III). Heating the reaction mixt. at 80.degree. for 4 hrs. converted III to II. The same migration was accomplished by refluxing pure III in benzene for 2 hrs. with an equimolar amt. of HgBr2. The utility of the reaction was demonstrated by condensing other purine and pyrimidine bases with I, 2,3-di-O-benzoyl-D-ribofuranosyl bromide 5-(diphenyl phosphate), or tetra-O-acetyl-.beta.-D-glucopyranosyl bromide.

=> fil wpids
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L24 8588 SEA FILE=WPIDS ?PYRIMIDINE?
L25 2278 SEA FILE=WPIDS ?NUCLEOSIDE?
L26 477 SEA FILE=WPIDS L24 AND L25
L30 7083 SEA FILE=WPIDS ACYLATED OR ACYLATION OR ACYL(W)(DERIV# OR DERIVATIVE# OR ANALOG?) OR AMINOACYL#
L31 22 SEA FILE=WPIDS L26 AND L30
L32 4 SEA FILE=WPIDS ACYLATING AND L26
L33 24 SEA FILE=WPIDS L31 OR L32

=> d std ab 1-24

L33 ANSWER 1 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 93-100346 [12] WPIDS
DNC C93-044275
TI New purine or **pyrimidine nucleoside** derivs. - useful as antiviral agents, particularly against HIV; antibacterial and antifungal agents.
DC B02 B03 C01
IN KURZ, W; O-YANG, C; WALKER, K A M; WU, H Y
PA (SYNT) SYNTEX USA INC
CYC 1
PI US 5192749 A 930309 (9312)* 29 pp A61K031-70
ADT US 5192749 A US 90-526485 900521
PRAI US 90-526485 900521
IC ICM A61K031-70
ICS C07H015-12; C07H017-00
AB US 5192749 A UPAB: 931122
Substd. **nucleosides** of formula (I) and their pharmacuetically acceptable esters, ethers, amides, N-**acyl derivs**. and salts are new. B = purine or **pyrimidine**; X and X' = H; Y = H; Y' = H or OH or Y' and X' are together bond; Z = n = 0-3; Y' and Z may together form a cyclic phosphate ester; Z1 = CN, Me, CH2N3 or CH2 halo; or Z1 and Y1 are together CH20.
Pref. B = A, G, U, T, C, hypoxanthine, 2,6-diaminopurine, 2-aminopurine, 8-aminopurine, 5-(ethyl bromo-1-ethenyl, F, Cl, Br, I or CF3)-2,4-dioxopyrimide, a **pyrimidine** residue.
USE/ADVANTAGE - (I) are used for treating bacterial, fungal or esp. viral infections, partic. HIV. They can be admin. orally or by injection (e.g. in liposomes) esp. at 5-30 mg/g/day opt. formulated with another antiviral such as acylovir, ganiclovir or foscarnet.

0/0
Dwg.0/0

L33 ANSWER 2 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 93-045427 [05] WPIDS
DNC C93-020532
TI Treatment of toxicity caused by non-methylated **pyrimidine nucleoside**(s) - comprises admin. of **acylated** deriv. of non-methylated **pyrimidine nucleoside** e.g. di acetyl deoxy cytidine.
DC B03
IN BAMAT, M K; VON, BORSTEL R W
PA (PRON-N) PRO-NEURON INC
CYC 22
PI WO 9301202 A1 930121 (9305)* EN 30 pp C07H017-00
RW: AT BE CH DE DK ES FR GB GR IT LU MC NL SE
W: AU BR CA FI JP KR NO
AU 9222544 A 930211 (9321) C07H017-00
ZA 9204975 A 930428 (9323) 130 pp A61K000-00
ADT WO 9301202 A1 WO 92-US5324 920625; AU 9222544 A AU 92-22544 920625; ZA 9204975 A ZA 92-4975 920703
FDT AU 9222544 A Based on WO 9301202
PRAI US 91-724340 910705
IC ICM C07H017-00
ICS A61K031-70; C08L000-00
AB WO 9301202 A UPAB: 931119
Preventing or treating toxicity due to a **pyrimidine nucleoside** analogue (I) comprises administering an **acylated** deriv. (II) of a non-methylated **pyrimidine nucleoside**.

(I) may be e.g. 5-fluorouracil, arabinosyl cytosine, cyclotidine, N-phosphonoacetyl-L-aspartic acid (PALA), pyrazofurin, thymidine, AZT, dideoxycytidine or 5-fluoroorotate. (II) may be e.g. triacetyluridine, ethoxycarbonyluridine, triacetylcytidine or diacetyldeoxycytidine. The method may further comprises administering (i) an inhibitor of uridine phosphorylase, e.g. benzylacyclouridine, 2,2'-anhydro-5-ethyluridine or 5-benzyl barbiturate; (ii) an inhibitor of cytidine deaminase, e.g. tetrahydrouridine or tetrahydro-2'-deoxyuridine; or (iii) an inhibitor of **nucleoside** transport, e.g. dipyridamole, probenicid, lidoflazine or nitrobenzylthioinosine.

Acyl derivs. of formula (III)-(VI) are also claimed. In formulae, at least one of R1-R4 is a hydrocarbyloxycarbonyl moiety contg. 2-26C and the remaining R substits. are hydrocarbyloxycarbonyl, hydrocarbylcarbonyl, H or phosphate.

USE - (II) can be used for preventing, attenuating or ameliorating toxicity associated with admin. of chemotherapeutic agents such as damage to the process of haematopoiesis and immune system function and damage to the gastrointestinal mucosa.
0/0
Dwg.0/0

L33 ANSWER 3 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 92-316105 [38] WPIDS
CR 91-252418 [34]; 92-316114 [38]
DNC C92-140426
TI 1,3-Dioxolane **nucleoside** analogues prodn., useful as antiviral agents - from protected 2-hydroxymethyl -4-acyloxy-1,3-dioxolane and protected purine or **pyrimidine** base using titanium catalyst.
DC B02 B03 D16
IN LIOTTA, D C; SCHINAZI, R F; CHOI, W
PA (UYEM-N) UNIV EMORY
CYC 25
PI WO 9214729 A1 920903 (9238)* EN 40 pp C07D411-04
RW: AT BE CH DE DK ES FR GB GR IT LU MC NL SE
W: AU CA JP US
AU 9214372 A 920915 (9251) C07D411-04
US 5210085 A 930511 (9320) 24 pp A61K031-505
CN 1065065 A 921007 (9324) C07D411-04
PT 100151 A 930531 (9325) C07H000-00
CS 9200497 A2 930317 (9329) C07D411-04
FI 9303684 A 930906 (9347) C07D000-00
NO 9302980 A 930820 (9347) C07D411-04
ZA 9201251 A 931027 (9348) 79 pp C07D000-00
EP 575482 A1 931229 (9401) EN C07D411-04
R: AT BE CH DE DK ES FR GB GR IT LI LU MC NL SE
US 5276151 A 940104 (9402) 10 pp C07D239-02
ADT WO 9214729 A1 WO 92-US1393 920221; AU 9214372 A AU 92-14372 920221, WO 92-US1393 920221; US 5210085 A CIP of US 90-473318 900201, US 91-659760 910222; CN 1065065 A CN 92-101981 920222, US 91-659760 910222; PT 100151 A PT 92-100151 920221; CS 9200497 A2 CS 92-497 920220; FI 9303684 A WO 92-US1339 920220, FI 93-3684 930820; NO 9302980 A WO 92-US1339 920220, NO 93-2980 930820; ZA 9201251 A ZA 92-1251 920220; EP 575482 A1 EP 92-908027 920220, WO 92-US1339 920220; US 5276151 A CIP of US 90-473318 900201, Cont of US 91-659760 910222, Cont of US 91-736089 910726, US 91-803028 911206
FDT AU 9214372 A Based on WO 9214729; US 5210085 A Based on WO 9214743; EP 575482 A1 Based on WO 9214743
PRAI US 91-659760 910222; US 91-736089 910726; US 91-803028 911206; US 92-831153 920212
IC ICM A61K031-505; C07D239-02; C07D411-04; C07H000-00
ICS A61K031-495; C07D239-47; C07D327-04; C07D407-04; C07F005-04; C12P017-16
ICI C07D239:36, C07D263:20, C07D411-04
AB WO 9214729 A UPAB: 940223
2'-Deoxy-5-fluoro -3'-oxacytidine (FDOC) and its (+) and (-) enantiomers are new.
Prodn. of 1,3-dioxolane **nucleosides** (I) is effected by reacting a 2-(protected hydroxymethyl) -4-acyloxy-1,3-dioxolane (II) with a protected purine or **pyrimidine** base (III) in the presence of a Ti catalyst of formula Ti(X)n(Y)4-n (IV) n = 2-4; X = Cl, Br or I; Y = alkoxy, aryloxy, NH2, mono- or dialkylamino, mono- or diarylamino alkylarylamino, or Y+Y is a divalent gp. bonded to Ti through an alkoxy O atom and an amino N atom).

Optical resolution of racemic cpds. (I) is effected by treatment with an enzyme that preferentially catalyses a reaction in one of the enantiomers.

USE/ADVANTAGE - Cpds. (I), including FDOC, are antiviral agents esp. useful for treating HIV infections. Process (B) provides high beta-stereoselectivity at the C1' position

Dwg.0/2

L33 ANSWER 4 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 92-301771 [37] WPIDS
DNC C92-134468
TI Tetra and di hydro furan intermediates prepn. for di;deoxy **nucleoside**(s) - by **acylating** hydroxymethyl gamma butyrolactone versatile anticancer prod. with stereochemical specificity.
DC B02 B03
IN KIM, C U; MARTIN, J C; KIN, C U; KIM, C
PA (BRIM) BRISTOL-MYERS SQUIBB CO
CYC 23
PI EP 502447 A1 920909 (9237)* EN 14 pp C07D307-20
R: AT BE CH DE DK ES FR GB GR IT LI LU MC NL PT SE
AU 9211287 A 920903 (9244) C07H019-06
NO 9200714 A 920902 (9245) C07H019-04
CA 2061943 A 920902 (9247) C07H019-073
FI 9200878 A 920902 (9249) C07H019-04
HU 61007 T 921130 (9302) C07D307-20
CN 1064484 A 920916 (9321) C07D307-16
JP 05105695 A 930427 (9321) 12 pp C07H019-06
ADT EP 502447 A1 EP 92-103485 920228; AU 9211287 A AU 92-11287 920228; NO 9200714 A NO 92-714 920224; CA 2061943 A CA 92-2061943 920227; FI 9200878 A FI 92-878 920227; HU 61007 T HU 92-628 920226; CN 1064484 A CN 92-101208 920229; JP 05105695 A JP 92-90382 920228
PRAI US 91-662686 910301
IC ICM C07D307-16; C07H019-04; C07H019-06; C07H019-073
ICS C07D307-28; C07D405-04; C07D473-02; C07D473-30; C07D473-32; C07D473-34; C07F007-10; C07F007-18; C07H013-04; C07H013-06; C07H017-04; C07H019-16; C07H019-173; C07H023-00
ICA C07D307-20; C07H005-02
AB EP 502447 A UPAB: 931113
Prepn. comprises: (a) **acylating** (S)-(+)-gamma-hydroxymethyl- gamma-butyrolactone (VI) with RX to give a cpd. of formula (V); (b) reducing with a selective hydride reducing agent to give an anomeric mixt. of cpds. of formula (IV); (c) reacting with SOCl2 or (COCl)2, and treatment with KOt-Bu or NEt3 to give a dihydrofuran cpd. of formula (III); (d) reacting with (i) a silylated **pyrimidine** of bis-2,4-TMS-cytosine, bis-2,4-TMS-thymine or bis-2,4-TMS-uracil (TMS = trimethylsilyl); and (ii) treatment with N-halosuccinimide and aq. NaHCO3 soln. to produce cpd. (Ia); or (i') AcOH and then N-halosuccinimide to give the acetate cpd. of formula (II); and (ii') treatment with a silylated purine of 6-benzoylamino-9-TMS-purine, bis-6,9-TMS-inosine, or tris-2,6,9-TMS-guanine to produce cpd. (Ib); Y = Cl, Br, or (I); R = pivaloyl, t-BuPh2Si, Ph3C, or

4-monomethoxytrityl; B = OAc, a pyrimidinyl gp. (XI) or a purinyl gp. (XII) X = NH or O; R1 = H or a protecting gp.; R2 = H or Me; R3 = H or NH2; B1, B2 = gps. (XI) or (XII), if by the reactant **pyrimidines** or purines.

USE/ADVANTAGE - Esp. antiviral activity.

0/0

Dwg.0/0

L33 ANSWER 5 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 92-079999 [10] WPIDS
DNC C92-037036
TI Prodn. of 2',3'-di -deoxy-2',3'-di dehydro-**nucleoside**(s) - as intermediates for antiviral and anticancer agents, by **acylation** and decarboxylation of 2',3'-orthoformate deriv. of **nucleoside**.
DC B02 B03
IN EBATA, T; ITOH, K; MATSUSHITA, H; MIZUTANI, N
PA (NISB) JAPAN TOBACCO INC; (YUKI) YUKI GOSEI YAKUHIN KOGYO KK
CYC 5
PI WO 9202516 A 920220 (9210)*
RW: DE FR GB
W: JP US
EP 493602 A1 920708 (9228) EN 25 pp C07D405-04
R: DE FR GB
JP 03511139 X 920806 (9238) 44 pp C07D405-04
ADT EP 493602 A1 EP 91-911951 910626, WO 91-JP857 910626; JP 03511139 X JP 91-511139 910626, WO 91-JP857 910626
FDT EP 493602 A1 Based on WO 9202516; JP 03511139 X Based on WO 9202516
PRAI JP 90-200421 900727; JP 90-338649 901130
IC ICM C07D405-04
ICS C07D473-06; C07D473-16; C07D473-18; C07D473-30; C07D473-32; C07D473-34; C07D473-38
AB WO 9202516 A UPAB: 931006
2',3'-dideoxy-2', 3'-**didehydronucleosides** of formula (I): (where R1 is OH or protected OH; B is a purine or **pyrimidine nucleoside** base) are produced by (a) reaction of a 2',3'-derivatised **nucleoside** of formula (II) with an acid anhydride in the presence of a catalyst (such as an inorganic or organic acid or an acidic ion-exchange resin) to give intermediate (III): (where R2 is (opt. substd.) alkyl or phenyl; R3 is also (opt. substd.) alkyl or phenyl): (b) decarboxylating (III) under neutral or basic conditions (e.g. in the presence of an amine, alkoxide, inorganic base or basic ion-exchange resin) to give (I).
USE/ADVANTAGE - The cpds (I) are intermediates in the synthesis of antiviral and anticancer agents by a low-cost route.
In an example, 5-methyluridine (2.00g) is suspended in methyl orthoformate and p-toluenesulphonic acid hydrate (0.02g) added. The mixt is stirred at room temp. then neutralised with 28% Na methoxide in methanol (21ml) and evapd. down. Acetic anhydride (12ml) is added and the mixt heated to 100degC for one hour. Zirconium hydroxide (1.5g) is added and the mixt heated to 130degC for 3 hours. Tributylamine (6ml) is added and the mixt heated to 130degC for 4 hours, cooled to room temp. and poured into aq sodium carbonate

then extracted with chloroform (3 times). The combined extract is evapd. down and 25% aq ammonia (30ml) added. The mixt is stirred at room temp., washed with hexane, and evapd. down. The residue is chromatographed on a silica gel column. Elution with chloroform/methanol (20:1) gives 2',3'-dideoxy-2',3' didehydrothymidine (1.50g, 86%), m.pt. 164-166deg.C.
1/6

L33 ANSWER 6 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 91-252418 [34] WPIDS
CR 92-316105 [38]; 92-316114 [38]
DNC C91-109631
TI Stereoselective synthesis of antiviral **nucleoside** analogue - useful as reverse transcriptase inhibitor for treatment of acquired immune deficiency syndrome.
DC B03
IN CHOI, W; LIOTTA, D C; CHOI, W B
PA (UYEM-N) UNIV EMORY
CYC 34
PI WO 9111186 A 910808 (9134)*
RW: AT BE CH DE ES FR GB GR IT LU NL OA SE
W: AU BB BG BR CA DK FI HU JP KP KR LK MC MG MW NO RO SD SU
AU 9173004 A 910821 (9147)
FI 9203446 A 920730 (9243) C07D000-00
EP 513200 A1 921119 (9247) EN 52 pp A61K031-505
R: AT BE CH DE DK ES FR GB GR IT LI LU NL SE
NO 9203014 A 920730 (9248) C07D411-04
US 5204466 A 930420 (9317) 11 pp C07D411-04
HU 62566 T 930528 (9326) C07D239-02
JP 05505794 W 930826 (9339) 27 pp C07D411-04
ADT FI 9203446 A WO 91-US685 910131, FI 92-3446 920730; EP 513200 A1 EP 91-904454 910131, WO 91-US685 910131; NO 9203014 A WO 91-US685 910131, NO 92-3014 920730; US 5204466 A US 90-473318 900201; HU 62566 T WO 91-US685 910131, HU 92-2496 910131; JP 05505794 W JP 91-504897 910131, WO 91-US685 910131
FDT EP 513200 A1 Based on WO 9111186; HU 62566 T Based on WO 9111186; JP 05505794 W Based on WO 9111186
PRAI US 90-473318 900201
IC ICM A61K031-505; C07D239-02; C07D411-04
ICS A61K031-50; A61K031-695; C07D473-00; C07F005-02
AB WO 9111186 A UPAB: 940223
Novel synthetic route to BCH-189 (2',3'-dideoxy-3'-thia-cytidine) (I) and various analogues is provided. Various pts. of the synthetic route and novel intermediates are separately claimed as follows: prepn. of the carboxylate of formula (4) is claimed: R = protecting gp. (pref. alkyl, silyl or acyl); R' = acyl gp. Redn. of a lactone of formula (3) to form a carboxylate of formula (4), then coupling of the carboxylate with a silyated **pyrimidine** base (II) in the presence of SnCl4 to form the beta-isomer of 2',2'-dideoxy-3'-thia-**nucleoside** (5) t of the protecting gp. from the ' position of the **nucleoside** with a H to form an antiviral **nucleoside** analogue (6), is claimed. X = trialkylsilyloxy or trialkylsiiylamino; Y = H, Me, halo, alkyl,

alkenyl, alkynyl, hydroxy, carboxy, thio or seleno-alkyl, phenyl, cycloalkyl, cycloalkenyl, thio or seleno-aryl; Z = trialkylsilyl. USE/ADVANTAGE - Synthetic route allows the stereoselective prepn. of the biologically active isomer of (I), i.e. beta-BCH-189, and related cpds., using inexpensive precursors. The stereochemistry at the **nucleoside** 4' position can be controlled to produce enantiomerically-enriched beta-BCH-189 and its analogues. Beta-(I) is a reverse transcriptase inhibitor and is promising as an antiviral cpd. for treatment of AIDS. @(52pp Dwg.No.0/4)@

L33 ANSWER 7 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 91-036571 [05] WPIDS
DNC C91-015618
TI Antimalarial compsns. contg. **pyrimidine** derivs. - capable of inhibiting nucleic acid biosynthesis in parasites.
DC B03
IN RATHOD, P K
PA (UYCA-N) UNIV CATHOLIC AMERICA; (UYCA-N) CATHOLIC UNIV AMER
CYC 15
PI WO 9100081 A 910110 (9105)*
RW: AT BE CH DE DK ES FR GB IT LU NL SE
W: CA JP
EP 445239 A 910911 (9137)
R: AT BE CH DE ES FR GB IT LI LU NL SE
JP 04503814 W 920709 (9234) 16 pp A61K031-505
ADT EP 445239 A EP 90-909947 900614; JP 04503814 W JP 90-509867 900614, WO 90-US3271 900614
FDT JP 04503814 W Based on WO 9100081
PRAI US 89-369472 890621
IC ICM A61K031-505
ICS A61K031-52; A61K031-70
AB WO 9100081 A UPAB: 930928
Antimalarial compsns. contain a **pyrimidine** deriv. (I) capable of inhibiting nucleic acid biosynthesis. The compsns. opt. also contain a **pyrimidine** base or **nucleoside** (II) that can be utilised to synthesise nucleic acids by malaria-infected vertebrates but not by malaria parasites. (I) is selected from 5-X-orotic acids, 5-aza-orotic acid and their esters, amides, O- or N-**acyl derivs.** and trans-5,6-dihydro analogues, where X = F, NO2, Br, SH, diazo, CN, ethynyl, CH2F, CHF2, CF3, CH2OH or CH2SH. Prefd. cpds. (I) are 5-fluoro-orotic acid (Ia) and trans-5,6-dihydro-5-fluoroorotic acid. (II) is uracil, uridine, cytosine, cytidine, thymine, deoxythymidine or deoxycytidine, esp. uridine (IIa). The compsns. are formulated for oral, parenteral or intranasal admin. ADVANTAGE - The compsns. selectively inhibit the growth of the parasites without harming their vertebrate hosts, since the parasites cannot utilise preformed **pyrimidine** bases or nucleotides (and have to synthesise them) whereas vertebrates can. 0/0

L33 ANSWER 8 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 90-186935 [25] WPIDS
DNC C90-081020
TI New 2'-de-oxy-2'-methylidene-cytidine derivs. - useful as antiviral and antitumour agents.
DC B03
IN FUJII, A; MAKSUDA, A; MIYASHITA, T; SAKATA, S; SASAKI, T; UEDA, T; YAMAGAMI, K
PA (YAMS) YAMASA SHOYU KK; (YOSH) YOSHITOMI PHARM INDS KK; (YOSH) YOSHITOMI PHARM IND KK
CYC 14
PI EP 373485 A 900620 (9025)*
R: AT BE CH DE ES FR GB IT NL SE
CA 2004752 A 900607 (9034)
JP 02256698 A 901017 (9048)
US 5026835 A 910625 (9128)
KR 9200647 B1 920120 (9340) C07H019-073
ADT EP 373485 A EP 89-122426 891205; JP 02256698 A JP 89-315621 891204; US 5026835 A US 89-447512 891207; KR 9200647 B1 KR 89-18092 891206
PRAI JP 88-310865 881207
IC A61K031-70; C07H019-07; C07H023-00
ICM C07H019-073
ICS A61K031-70; C07H019-07; C07H023-00
AB EP 373485 A UPAB: 930928
Pyrimidine derivs: of formula (I) and their pharmaceutically acceptable salts and hydrates are new. In (I), R1 = NH2, OH, silylamino, silyloxy, acylamino or acyloxy; R2 = H, halo, lower alkyl, lower alkenyl; lower alkynyl or haloalkyl; R3 and R4 = H, silyl, acyl or **aminoacyl**; the cpds. where R1 = NH2 or OH and R3 = R4 = H are excluded. Specifically clamimed are 8 cpds. (I) e.g. 2'-deoxy-2'-methylidene -5'-O-stearoyl-cytidine (Ia), 5'-O -(2-amino-3-methylvaleryl) -2'-deoxy-2'-methylcytidine and 5'-O-heptadecanyl -2'-deoxy-2'-methylcytidine and 5'-O-heptadecanyl -2'-deoxy-2'-methylcytidine.
USE - (I) inhibit incubated tumour cell proliferation and so are useful as anticancer agents. They have long duration of activity with low toxicity. (I) also have antiviral activity against. Herpes simplex and cytomegalovirus.
0/0

L33 ANSWER 9 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 88-295964 [42] WPIDS
DNC C88-131200
TI 2'-Deoxy-2'(S)-alkyl **pyrimidine nucleoside** derivs. - used as active component in antiviral drugs.
DC B03
PA (YAMS) YAMASA SHOYU KK
CYC 1
PI JP 63215694 A 880908 (8842)* 10 pp
ADT JP 63215694 A JP 87-49540 870304
PRAI JP 87-49540 870304
IC A61K031-70; C07H019-09
AB JP63215694 A UPAB: 930923
2'-Deoxy-2'(S) **-alkylpyrimidine nucleoside**

deriv. of formula (I) and their salts are claimed, where R1 is amino or hydroxy gp; R2 is H or lower alkyl; R3 is lower alkyl; and R4 is H or phosphoric acid residue.
(I) are produced by (i) alkylating 2'-position of sugar part of cpd. (II) with alkylating agent to give a cpd. of formula (III) (R5 is alkoxyl; Z is protective gp); (ii) **acylating** OH at 2'-posn. of sugar part of cpd. (III) reducing with reducing agent and then deprotecting to give a cpd. of formula (IV); and (iii) hydrolysing or aminating base part 4-posn. of cpd. (IV). If desired, further sugar part 5'-position is then phosphoric acidised to give cpd. (I).
USE/ADVANTAGE - (I) are used as antivirus drugs.
0/0

L33 ANSWER 10 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 87-137316 [20] WPIDS
DNC C87-057153
TI New **pyrimidine nucleoside**(s) - useful as antiviral and anticancer agents esp. with potent anti-herpes activity.
DC B03
IN FOX, J J; MATULICADA, J; PRICE, R W; WATANABE, K A
PA (SLOK) SLOAN KETTERING INST CANCER
CYC 7
PI EP 222192 A 870520 (8720)* EN 29 pp
R: DE ES FR GB
JP 62142195 A 870625 (8731)
US 4762823 A 880809 (8834) 8 pp
CA 1292468 C 911126 (9203)
ADT EP 222192 A EP 86-114249 861015; JP 62142195 A JP 86-246441 861016; US 4762823 A US 85-787973 851016
PRAI US 85-787973 851016
IC A61K031-70; C07H019-06; C07H023-00
AB EP 222192 A UPAB: 930922
Pyrimidine nucleosides of formula (I) are new where either X or X' = H; the other of X, X' = halogen, pseudohalogen or OR3; Y = CH2F or CHF2; R1-R3 = H, acyl or trisubstd. silyl.
76 cpds. (I) are specifically claimed, including 1-(3',5'-di-O-acetyl- 2'-deoxy-2'-fluoro-beta- D-arabinofuranosyl) thymidine.
In (I) the pseudohalogen pref. includes 1-5C alkylsulphonyl or arylsulphonyl.
USE/ADVANTAGE - (I) are antiviral and anticancer agents. Some cpds. have potent antiherpes activity without serious cytotoxicity. When fluorine-18 labelled cpds. are prepd., they are useful for diagnosing herpes encephalitis by positron emission tomography scanning. The **acylated** derivs. are prodrug forms.
0/0

L33 ANSWER 11 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 85-135606 [23] WPIDS

DNC C85-059091
TI New **pyrimidine** thio **nucleoside** derivs. - with antibacterial activity prepd. by deacylation and re-**acylation** of N-peptidyl precursors.
DC B03
IN BENZ, G; METZGER, K G; PFITZNER, J; SCHMIDT, D; ZEILER, H J
PA (FARB) BAYER AG
CYC 12
PI DE 3341571 A 850530 (8523)* 40 pp
EP 144750 A 850619 (8525) DE
R: AT BE CH DE FR GB IT LI NL SE
JP 60123495 A 850702 (8532)
US 4626525 A 861202 (8651)
US 4670542 A 870602 (8724)
EP 144750 B 880608 (8823) DE
R: AT BE CH DE FR GB IT LI NL SE
DE 3471938 G 880714 (8829)
ADT DE 3341571 A DE 83-3341571 831117; EP 144750 A EP 84-113276 841105; JP 60123495 A JP 84-242210 841116; US 4626525 A US 84-669176 841107; US 4670542 A US 86-868406 860529
PRAI DE 83-3341571 831117
IC A61K031-50; A61K037-02; C07C103-52; C07D409-04; C07H019-00; C07K005-08; C07K009-00; C12F019-38; C12P019-38
AB DE 3341571 A UPAB: 930925
Pyrimidine thionucleoside deriv. of formula (I), where X=O or =N-CO-NH2- R=the residues of an amino acid (other than serine), the residue of an oligopeptide (other than HyoHyoHyoSer and its derivatives on the free amino group), HyoHyoHyoSer, HyoHyoHyoAla, HyoHyoHyoThr, Hyo, HypHyo or HyoHyoHyo; Hyo=N5-acetyl-N5-hydroxy-L-ornithine. Cpds. of formula (IV) are also claimed.
USE - As shown by results of in vitro tests against E.coli, Klebsiella 57 USA, Proteus 1017 and Staphylococcus 133, (I) have antimicrobial activity and can be used against bacterial infections. The tripeptide of formula (VII) is formed in the enzymatic cleavage of the cpd. (II) in the prodn. of (I).
0/0

L33 ANSWER 12 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 79-77319B [43] WPIDS
TI Prepn. of tritiated 5-hydroxymethyl-**pyrimidine** **nucleoside**(s) - from 5-cyano-**pyrimidine** **nucleoside**(s) by treating with tritium in an acid anhydride, de **acylating** and de aminating.
DC B03 K08
IN BAERWOLFF, D; MURAWSKI, D
PA (DEAK) AKAD WISSENSCHAFTEN DDR
CYC 1
PI DD 136957 A 790808 (7943)*
DD 136957 B 830831 (8401)
PRAI DD 78-205856 780608
IC C07B023-00; C07H019-10
AB DD 136957 A UPAB: 930901

Prepn. of tritiated cpds. of formula (I): (where R is H, phosphate, pyrophosphate, triphosphate or 3',5'-cyclophosphate) is carried out by tritiating the corresp. 5-cyano cpd. (II) with T2 gas at 0.5-1.5 atm. in an acid anhydride in the presence of a Pd catalyst then deacylating the product and then deaminating the resulting amino cpd. Tritiation is pref. effected in trifluoroacetic anhydride (TFA). Deamination is pref. effected with HNO2.
(I) are useful as tracers for biochemical research (esp. into cytostatic effects) and medical diagnosis.

L33 ANSWER 13 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 77-40487Y [23] WPIDS
TI **Pyrimidine nucleosides** with beta-configuration, prepn. - by reacting trialkyl-stannyl-**pyrimidine** deriv. with fully **acylated** sugar for use as pharmaceutical e.g. as antitumour agent.
DC B03
PA (RIKA) INST PHYSICAL & CHEM RES
CYC 1
PI JP 52051374 A 770425 (7723)*
JP 53023317 B 780713 (7832)
PRAI JP 75-128021 751024
IC C07H019-06
AB JP52051374 A UPAB: 930901
Prepn. comprises reacting a tri-(lower alkyl)-stannyl-**pyrimidine** deriv. (II) with a fully **acylated** sugar (III), to give a corresp. **nucleoside** with beta-configuration. In the formula, Alk is lower alkyl; X is O or S; and R is H, 1-4C alkyl or halogen.
The reaction is carried out pref. in a solvent (e.g., dichloroethane) at 5 degrees C to room temp. for 100-150 hrs. in the presence of a Lewis acid (e.g., SnCl4, boron trifluoride etherate, AlCl3). (III) is e.g., 1,2,3,4,6-penta-O-acetyl-beta-D-glucopyranose or 1-O-acetyl-2,3,5-tri-O-benzoyl-D-ribofuranose.
(I) are useful as pharmaceuticals (e.g., as antitumour agents) or as synthetic intermediates for modified nucoeosides. Method gives good yields.

L33 ANSWER 14 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 75-70479W [42] WPIDS
TI 4'-Alkoxy-and 2'-deoxy **nucleosides** - useful for regulating and controlling metabolism and producing metabolic deficiencies in biological systems.
DC B02 B03
PA (SYNT) SYNTEX (USA)
CYC 1
PI US 3910885 A 751007 (7542)*
PRAI US 74-450350 740312
IC C07H019-06
AB US 3910885 A UPAB: 930831
Nucleoside derivs. of formula (I) and inters. of formula (II) are new:- (where B is a **pyrimidine** or purine base

selected from uracil-1-yl opt. substd. at 5-position by F, alkyl, CF3, NO2, or (di)methylamino, 6-aza-uracil-1-yl, 6-aza-5-methyluracil-1-yl, cytosin-1-yl opt. substd. at the 5-posn. by F, alkyl, CF3, NO2 or (di)methylamino, 6-azacytosin-1-yl, adenin-9-yl, 2-fluoroadenin-9-yl, 2-azaadenin-9-yl, 7-deazaadenin-9-yl, 8-azaadenin-9-yl, 8-aza-9-deazaadenin-9-yl, 7-deaza-7-cyanoadenin-9-yl, guanin-9-yl, 8-azaguanin-9-yl, 7-deazaguanin-9-yl, isoguanin-9-yl, hypoxanthin-9-yl and xanthin-9-yl, and their hydrolysable **acyl derivs** ., derived from 1-12C carboxylic acid; R is CH3, C2H4, -CH2CH2X, -CH2CH(X)2 or -CH2C(X)3; X is F or Cl; are of R2 and R3 is H and the other is H or OR4; and R4, R5 and R6 are H or a 1-12C hydrolysable acyl gp., provided that when both R2 and R3 are H, B is a **pyrimidine** base; alkyl is 1-5C straight chain). (II) are intermediates for (I) which are useful in regulating and controlling metabolism and for producing metabolic deficiencies in numerous biological systems, or are intermediates for such cpds.; they exhibit antibacterial, antiviral, cardiac, circulatory and CNS activity. (I) are analogs of human **nucleosides** having known pharmacological properties.

L33 ANSWER 15 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 74-35449V [19] WPIDS
TI **Pyrimidine nucleosides** prepn - by treating a bis(trimethylsilyl) uracil with a fully **acylated** sugar and a Lewis acid.
DC B03
PA (PHYS) PHYSICO-CHEM RES LAB
CYC 1
PI JP 49024977 A 740305 (7419)*
PRAI JP 72-65632 720630
AB JP49024977 A UPAB: 930831
The title cpds are prepd. by treating bis(trimethylsilyl) deriv of (I) (R1 = 2,3,4,6-tetra-O-acetyl-beta-D-glucopyranose or 2,3,5-tri-O-benzoyl-beta-D-ribofuranose; R2 = H, alkyl, or halo) with an exhaustively **acylated** sugar and a Lewis acid. In an example a mixt. of 1.3 g bis(trimethylsilyl) uracil, 2 g 1,2,3,4,6-penta-O-acetyl-beta-D-glucopyranose, 1 ml hexamethylphosphorotriamide, and 0.8 ml BF3-Et2O was heated at 190 degrees C for 15 min to give 26% 1-(2,3,4,6-tetra-O-acetyl-beta-D-glucopyranosyl)-uracil. Similarly prepd. were 1-(2,3,4,6-tetra-O-acetyl-beta-D-glucopyranosyl)-thymine, 1-(2,3,5-tri-O-benzoyl-beta-D-ribofuranosyl)-uracil, 1-(2,3,5-tri-O-benzoyl-beta-D-ribofuranosyl)-thymine, and 5-fluoro-1-(2,3,5-tri-O-benzoyl-beta-D-ribofuranosyl)-uracil.

L33 ANSWER 16 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 73-34460U [24] WPIDS
TI Unsatd **nucleoside** phosphonic acids and phosphonates - - metabolism regulators and inters.
DC B02 B03
PA (SYNT) SYNTEX CORP

CYC 1
PI US 3736314 A (7324)*
PRAI US 67-643078 670602; US 67-654056 670718; US 67-679218 671030; US 70-82555 701020
IC C07D051-52
AB US 3736314 A UPAB: 930831
Title cpds have the formulae: where R1 is a **pyrimidine** or purine base radical, opt. **acylated**, R2 and R3 are each H, OH oracyloxy or R2 and R3 together are an acetal gp. R6,R7 and R8 are each OH or 1-12C acyloxy, and R13 and R14 are each -OM, -OR9, -SR10, piperidine, morpholino or -NR11R12 where M is H or a cation, R9 and R10 are each 1-6C alkyl, 2-6C alkenyl)-methyl or 6-12C aryl opt. halo-, nitro-, 1-6C alkoxy, or di (1-6C alkyl)-amino-substituted, and R11 and R12 are each 1-6C alkyl. The cpds. are useful in regulating and controlling metabolism and for producing metabolic deficiencies in biological systems. They are also useful for preparing other **nucleoside** phosphonates. They may be prepd. by a condensation reaction between 5'-aldehydo-**nucleosides** and phosphonium ylids.

L33 ANSWER 17 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 72-58920T [37] WPIDS
TI Halogd purine and **pyrimidine nucleosides** - antimetabolites and antibiotics.
DC B03
PA (SYNT) SYNTEX CORP
CYC 1
PI US 3687931 A (7237)*
PRAI US 70-21207 700319
IC C07D051-52
AB US 3687931 A UPAB: 930831
Title cpds. are of formulae (I-V): (in which R1-R6 are OH, 1-12C acyloxy, 1-12C acyl, alkylidenedioxy, trityloxy, or methoxytrityoxy; B1 is purine base or 1-12C **acyl deriv.**, but not adenin-9-yl, hydroxanthin-9-yl, or guanin-9-yl when R1R2 are both OH; B2 is purine base or 1-12C **acyl deriv.**; B3 is **pyrimidine** base or 1-12C **acyl deriv** .; R7 is Br, Cl, OH, 1-12C acyloxy, 1-12C acyl, trityloxy, alkylidenedioxy, or methoxytrityloxy; X1, X2 are Br or Cl, but when R7 is Br or Cl, then X2 is Br or Cl respectively).

L33 ANSWER 18 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 71-38844S [23] WPIDS
TI **Thiopyrimidine nucleosides.**
DC B03
PA (SCHD) SCHERING AG
CYC 1
PI DE 1959523 A (7123)*
PRAI DE 69-1959523 691122
IC C07D051-30
AB DE 1959523 A UPAB: 930831
Thiopyrimidine nucleosides. Title Cpds. of

formula (I): (where Xis O or NH; R s 2-4C alkyl, 1-4C alkoxy, halogen, 2-5C alkoxycarbonyl, 3-6C alkoxycarbonyl-methyl or opt. alkylated or **acylated** amino or amino-methyl and Z is a protected sugar residue the linkage of which with the **pyrimidine** ring is beta-oriented) having antibacterial, antiviral, cytotoxic and enzyme-inhibiting activity are claimed. Processes for preparing these cpds. are described.

L33 ANSWER 19 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 70-68600R [38] WPIDS
TI **Nucleoside** phosphonates, phosphonic acids and - salts with metabolism regulator properties.
DC B03
PA (SYNT) SYNTEX CORP
CYC 4
PI DE 2009834 A (7038)*
FR 2034785 A (7106)
GB 1301182 A (7252)
CA 931561 A (7334)
CA 931562 A (7334)
PRAI US 69-805792 690310
IC A61K027-00; C07D051-50
AB DE 2009834 A UPAB: 930831
Nucleoside-6'-phosphonic acid derivatives stable to cell membrane phosphatase have formula (I), (II), or (III) (where R' is a **pyrimidine** or purine base or their **acyl derivatives**; R2 and R3 are H, -OH or ester; R2 and R3 together are acetal or ketal; R4 and R5 are -OM, -OR9, -SR10, where M is H or cation, R9 and R10 are alkyl, alkenyl-methyl or aryl, and R11 and R12 are alkyl; R6, R7 and R8 are -OH or ester groupse and are produced by reacting **nucleoside**-5'-aldehydes with a phosphorylated phosphonium ylide, and saturating R4R5P(O)CH=CH- groups.

L33 ANSWER 20 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 66-37819F [00] WPIDS
TI 3-cyclic esters of 5-deoxy-5-dihydroxyphosphinylmethyl.
DC B00
PA (SYNT) SYNTEX CORP
CYC 1
PI US 3446793 A (6800)*
PRAI US 67-679218 671030; US 70-82555 701020
AB US 3446793 A UPAB: 930831
(A) 31-Cyclic esters of 51-deoxy-51-(dihydroxyphosphinylmethyl)**nucleosides**:
(I) R = H or OH and hydrolysable esters thereof
R1 = **pyrimidine** or purine base or **acyl derivs.** thereof.
(B) Pharmaceutically acceptable salts of (I).
Controlling and activating enzyme involved in glycogenesis; similar action to certain hormones, so causing increased cellular synthesis of glucocorticoids, progesterone and androgens; less

susceptible to hydrolysis by phosphodiesterases than similar cyclic 31,51-phosphates.

L33 ANSWER 21 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 66-16246F [00] WPIDS
TI Purine and **pyrimidine nucleosides.**
DC B02
PA (SANY) SANKYO CO LTD
CYC 5
PI FR 1391751 A (6800)*
CH 458364 A (6801)
DE 1470254 A (6801)
GB 1070413 A (6801)
US 3208997 A (6801)
DE 1695831 A 710513 (8502)
PRAI JP 62-50003 621115
IC C07D000-00
AB FR 1391751 A UPAB: 930831
Purine (I) and **pyrimidine** (II) **nucleosides** of the general formulae:-

R1,R2= H, HO, HS, amino, acylamino
R3,R4= H, HO, amino, acylamino, HS, Me
Y = glycosyl
Antimetabolites, (e.g. anti-cancer).

Cpds. (I) and (II) in which Y = H are reacted with a lower trialkylchlorosilane and a tertiary amine, or with a hexaalkyldisilazane, in an anhydrous inert solvent and the product is then reacted, at 160-190 deg., with an acyl-halogeno-pentose or -hexose, followed by deacylation. When the starting material contains amino, it is protected by **acylation.**

L33 ANSWER 22 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 66-14139F [00] WPIDS
TI **Fluoropyrimidine nucleosides.**
DC B00
PA (HOFF) HOFFMANN-LA ROCHE & CO
CYC 11
PI ZA 6401843 A (6800)*
AU 6443558 A (6801)
BE 647321 A (6801)
CA 745148 A (6801)
CH 459229 A (6801)
DE 1277855 A (6801)
FR 3455 M (6801)
FR 1396681 A (6801)
FR 1398202 A (6801)
GB 1006154 A (6801)
JP 41018945 B (6801)
NL 6404761 A (6801)
US 3221010 A (6801)

PRAI US 63-277440 630502
AB ZA 6401843 A UPAB: 930831
Fluoropyrimidine nucleosides of general formula (I) and
pharmaceutical preparations thereof.
where R = OH or NH2
R1 = H or halogen
R2 = H, lower alkyl or acyl
X = ribose, alpha-deoxyribose, or beta-deoxyribose or their **acylated** derivs.
When X = deoxyribose, alpha and beta anomers exist vis.
The beta-anomers interfere with nucleic acid metabolism and inhibit growth of cells of microorganisms and tumours. They are antibacterial and anti-tumour agents as shown by tests on transplantable tumours in mice. alpha-Anomers are biologically inactive and are undesirable side products from which the valuable **pyrimidine** and sugar moieties can be regenerated.
Administration. Orally as tablets, capsules, solns., suspensions and emulsions; parenterally as solns.

L33 ANSWER 23 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 66-11460F [00] WPIDS
TI **Pyrimidine nucleoside.**
DC B00
PA (DAUC) DAIICHI SEIYAKU CO
CYC 1
PI JP 39002877 B (6800)*
PRAI JP 60-34835 600812
AB JP64002877 B UPAB: 930831
The prepn. of cpds.
(I) in which R2 = glycosyl
R' = O or s
R" = H or lower alkyl.
The process is as follows:
in which R1 = fully **acylated** glycosyl
R"' = lower alkyl
X = halogen
The process utilises more readily available starting materials and proceeds under milder conditions than previous processes.
Intermediates in prepn. of anticancer drugs.

L33 ANSWER 24 OF 24 COPYRIGHT 1994 DERWENT INFORMATION LTD

AN 66-10136F [00] WPIDS
TI **Pyrimidine nucleosides.**
DC B00
PA (UPJO) UPJOHN CO
CYC 1
PI US 3116282 A (6800)*
PRAI US 60-24890 600427
AB US 3116282 A UPAB: 930831
(A) Prodn. of cytosine-1-**nucleosides** by reacting a fully

acylated
uracil-1-**nucleoside** with phosphorus pentasulphide to form a fully
acylated 4-thiouracil-1-**nucleoside** and then reacting this with a
basic N-H cpd.
(B) New cytosine-1-**nucleosides** of the formula:
(I) and acid addition salts thereof.
Y' = arabinofuranosyl
R1,R2 = H; alkyl; alkenyl; cycloalkyl; cycloalkenyl; O, S, and N-monocyclic hetero-cyclicalkyl; aryl; aralkyl;
R1 and R2 together may form heterocyclic radical C is not > 10 which may contain O, S, or an additional N.
R3 = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, OH or NO2.
(C) Novel intermediate 4-thiouracil-1-**nucleosides** III (see
below) where Y = a sugar radical C5 - C6 and fully **acylated** derivs. II.
('acyl' = acyl radical of monocarboxylic acid)
Chemotherapy and metabolic reactions. Cpds. I have antibacterial and antiviral activity. Intermediates for nucleotides.